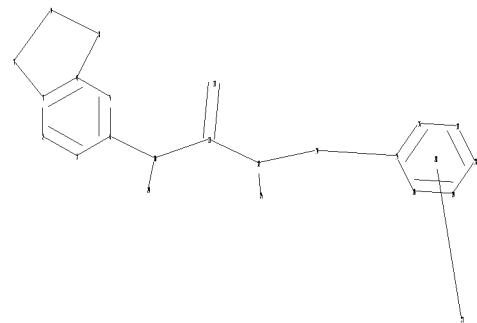
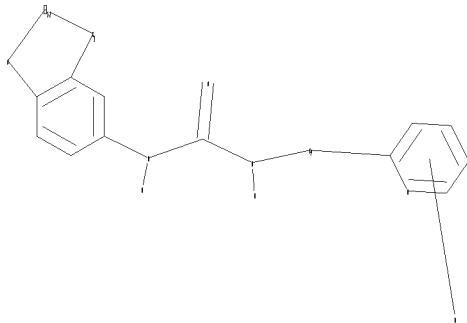


=>  
Uploading C:\Program Files\Stnexp\Queries\rkc446.str



chain nodes :  
 10 11 12 13 14 24 25 27  
 ring nodes :  
 1 2 3 4 5 6 7 8 9 15 16 17 18 19 20  
 chain bonds :  
 6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15  
 ring bonds :  
 1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19  
 19-20  
 exact/norm bonds :  
 3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20  
 isolated ring systems :  
 containing 1 : 15 :

G1:C,O

Match level :

```
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 24:CLASS
25:CLASS 27:CLASS 28:CLASS
Generic attributes :
14:
Saturation           : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
```

L1 STRUCTURE UPLOADED

```
=> d
L1 HAS NO ANSWERS
L1      STR
```

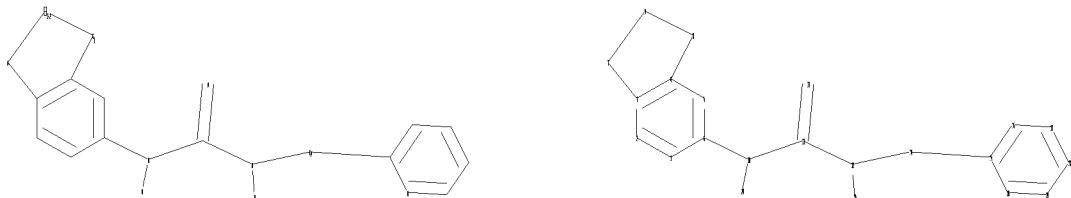
```
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.
```

```
=> s l1 ful
FULL SEARCH INITIATED 15:34:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE
```

```
100.0% PROCESSED      791 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01
```

L2 0 SEA SSS FUL L1

```
=>
Uploading C:\Program Files\Stnexp\Queries\rkc446b.str
```



```

chain nodes :
10 11 12 13 14 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15
ring bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 :

```

G1:C,O

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 24:CLASS
25:CLASS
Generic attributes :
14:

```

Saturation : Unsaturated  
Number of Carbon Atoms : less than 7  
Number of Hetero Atoms : less than 2

L3 STRUCTURE UPLOADED

=> d  
L3 HAS NO ANSWERS  
L3 STR

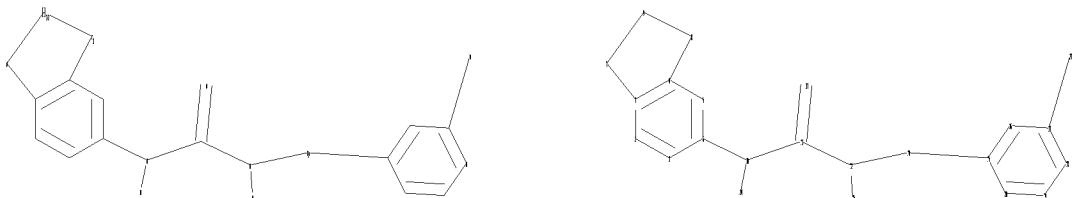
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*  
Structure attributes must be viewed using STN Express query preparation.

=> s 13 ful  
FULL SEARCH INITIATED 15:36:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L3

=>  
Uploading C:\Program Files\Stnexp\Queries\rkc446c.str



chain nodes :  
 10 11 12 13 14 24 25 27  
 ring nodes :  
 1 2 3 4 5 6 7 8 9 15 16 17 18 19 20  
 chain bonds :  
 6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15 17-27  
 ring bonds :  
 1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19  
 19-20  
 exact/norm bonds :  
 3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15 17-27

normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :  
 containing 1 : 15 :

G1:C,O

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 24:CLASS  
 25:CLASS 27:CLASS  
 Generic attributes :

14:  
 Saturation : Unsaturated  
 Number of Carbon Atoms : less than 7  
 Number of Hetero Atoms : less than 2

L5 STRUCTURE UPLOADED

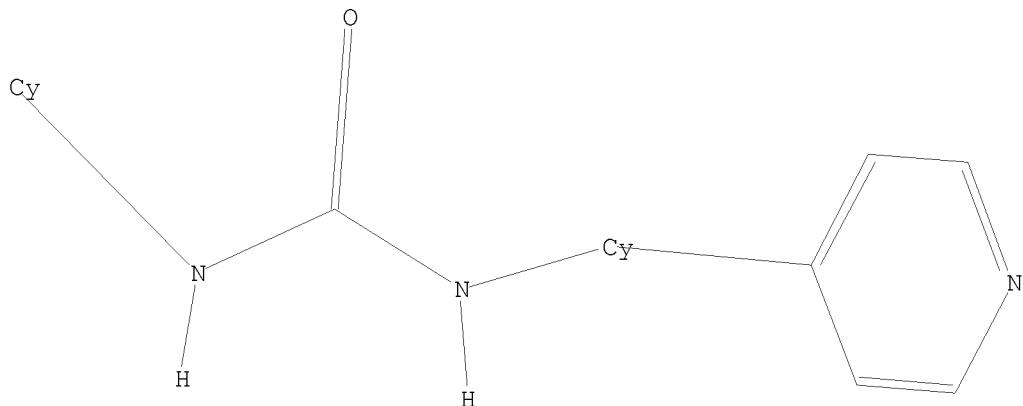
=> s 15 ful  
 FULL SEARCH INITIATED 15:43:19 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS 1 ANSWERS  
 SEARCH TIME: 00.00.01

L6 1 SEA SSS FUL L5

=> d

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-44-8 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-1,3-benzodioxol-5-yl-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)-  
 (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C24 H19 N5 O3  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



G1 C,O  
 G2 O,S,N,Me,Et,n-Pr,MeO,EtO,n-PrO

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

FILE 'CAPLUS' ENTERED AT 15:43:44 ON 18 JUN 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 18 Jun 2005 VOL 142 ISS 26  
FILE LAST UPDATED: 17 Jun 2005 (20050617/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16  
L7 1 L6

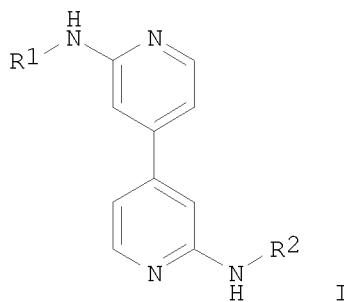
=> d fbib abs fhitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:515503 CAPLUS  
 DN 141:71452  
 TI Preparation of pyridine derivatives as JNK inhibitors  
 IN Kallin, Elisabeth; Plobbeck, Niklas; Swahn, Britt-Marie  
 PA Astrazeneca Ab, Swed.  
 SO PCT Int. Appl., 98 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.
PI	WO 2004052880	A1	20040624	WO 2003-SE1911
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EGG, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, NL, NO, PT, SE, SI, TR, UK, US, ZA			

NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,  
 TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 SE 2002-3654 A 20021209

OS MARPAT 141:71452  
 GI



AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COR3, CONR3R4, NHCOR3, NR3R4, NSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

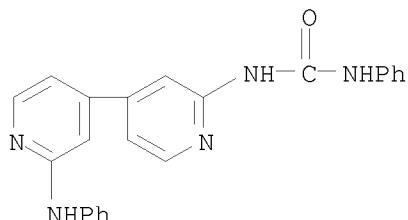
IT 712269-44-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4'-bipyridine-2,2'-diamine derivs. as JNK inhibitors)

RN 712269-44-8 CAPLUS

CN Urea, N-1,3-benzodioxol-5-yl-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)- (9CI) (CA INDEX NAME)



=> FIL STNGUIDE			
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION	
FULL ESTIMATED COST	6.29	498.13	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION	
CA SUBSCRIBER PRICE	-0.73	-0.73	

FILE 'STNGUIDE' ENTERED AT 15:45:28 ON 18 JUN 2005  
 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT  
 COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE  
 AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.  
 LAST RELOADED: Jun 10, 2005 (20050610/UP).

=> fil reg			
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION	
FULL ESTIMATED COST	0.12	498.25	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION	
CA SUBSCRIBER PRICE	0.00	-0.73	

FILE 'REGISTRY' ENTERED AT 15:46:32 ON 18 JUN 2005  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
 provided by InfoChem.

STRUCTURE FILE UPDATES: 17 JUN 2005 HIGHEST RN 852510-62-4  
 DICTIONARY FILE UPDATES: 17 JUN 2005 HIGHEST RN 852510-62-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

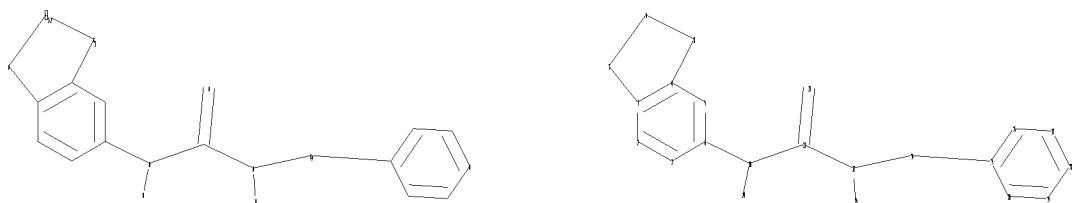
Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

\*\*\*\*\*  
 \*  
 \* The CA roles and document type information have been removed from \*  
 \* the IDE default display format and the ED field has been added, \*  
 \* effective March 20, 2005. A new display format, IDERL, is now \*  
 \* available and contains the CA role and document type information. \*  
 \*  
 \*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
 Uploading C:\Program Files\Stnexp\Queries\rkc446d.str



```

chain nodes :
10 11 12 13 14 24 25
ring nodes :
1 2 3 4 5 6 7 8 9 15 16 17 18 19 20
chain bonds :
6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15
ring bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19
19-20
exact/norm bonds :
3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20
isolated ring systems :
containing 1 : 15 :

```

G1:C,O

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 24:CLASS

25:CLASS

Generic attributes :

14:

Saturation : Unsaturated  
 Number of Carbon Atoms : less than 7  
 Number of Hetero Atoms : less than 2

L8 STRUCTURE UPLOADED

=> d

L8 HAS NO ANSWERS

L8 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 18 ful

FULL SEARCH INITIATED 15:48:38 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

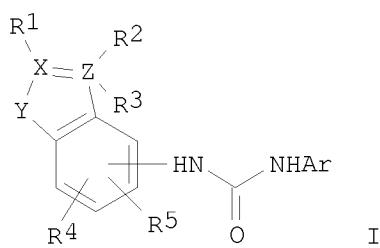
100.0% PROCESSED 791 ITERATIONS  
 SEARCH TIME: 00.00.01

1 ANSWERS

L9 1 SEA SSS FUL L8

=> d

L9 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-44-8 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-1,3-benzodioxol-5-yl-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)-  
 (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C24 H19 N5 O3  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

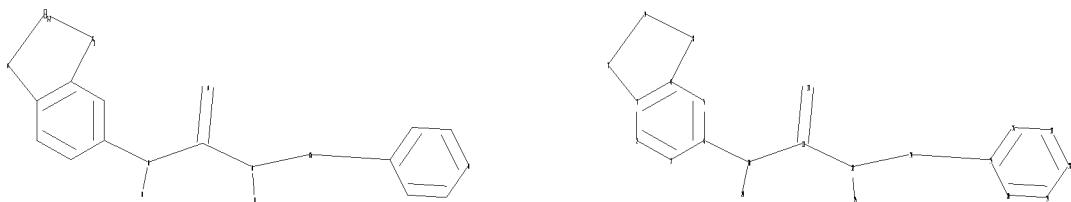


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=>

Uploading C:\Program Files\Stnexp\Queries\rkc446e.str



chain nodes :  
 10 11 12 13 14 24 25  
 ring nodes :  
 1 2 3 4 5 6 7 8 9 15 16 17 18 19 20  
 chain bonds :

6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-15  
 ring bonds :  
 1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-16 15-20 16-17 17-18 18-19  
 19-20  
 exact/norm bonds :  
 3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-15  
 normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 15-16 15-20 16-17 17-18 18-19 19-20  
 isolated ring systems :  
 containing 1 : 15 :

G1:C,O

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 24:CLASS  
 25:CLASS

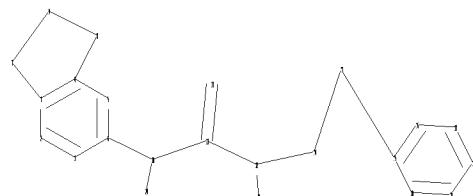
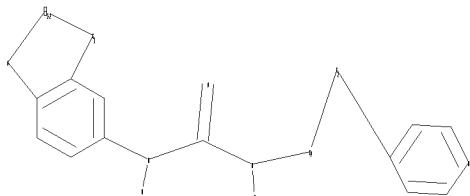
L10 STRUCTURE UPLOADED

=> s l10 ful  
 FULL SEARCH INITIATED 15:50:16 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 791 TO ITERATE

100.0% PROCESSED 791 ITERATIONS 0 ANSWERS  
 SEARCH TIME: 00.00.01

L11 0 SEA SSS FUL L10

=>  
 Uploading C:\Program Files\Stnexp\Queries\rkc446f.str



chain nodes :  
 10 11 12 13 14 24 25 27  
 ring nodes :  
 1 2 3 4 5 6 7 8 9 15 16 17 18 19 20  
 chain bonds :  
 6-10 10-11 10-24 11-12 11-13 12-14 12-25 14-27 15-27  
 ring bonds :  
 1-2 1-6 2-3 3-4 3-7 4-5 4-8 5-6 7-9 8-9 15-20 15-16 16-17 17-18 18-19  
 19-20  
 exact/norm bonds :  
 3-7 4-8 6-10 7-9 8-9 10-11 10-24 11-12 11-13 12-14 12-25 14-27 15-27

normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 15-20 15-16 16-17 17-18 18-19 19-20

isolated ring systems :  
 containing 1 : 15 :

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 24:CLASS

```
25:CLASS 27:CLASS
Generic attributes :
14:
Saturation           : Unsaturated
Number of Hetero Atoms : less than 2
```

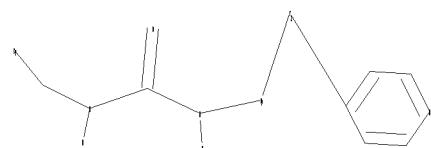
L12        STRUCTURE UPLOADED

```
=> s l12 ful
FULL SEARCH INITIATED 15:54:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 352 TO ITERATE
```

```
100.0% PROCESSED    352 ITERATIONS                    0 ANSWERS
SEARCH TIME: 00.00.01
```

L13        0 SEA SSS FUL L12

```
=>
Uploading C:\Program Files\Stnexp\Queries\rkc446g.str
```



```
chain nodes :
1 2 3 4 5 6 14 15 16 18
ring nodes :
```

```

7 8 9 10 11 12
chain bonds :
1-2 1-18 2-3 2-14 3-4 3-5 4-6 4-15 6-16 7-16
ring bonds :
7-12 7-8 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-18 2-3 3-4 3-5 4-6 6-16 7-16
exact bonds :
2-14 4-15
normalized bonds :
7-12 7-8 8-9 9-10 10-11 11-12

```

G1:C,O

G2:O, S, N, CH3, Et, n-Pr, MeO, EtO, n-PrO

```

Match level :
1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 14:CLASS 15:CLASS 16:CLASS 18:Atom
Generic attributes :
6:
Saturation : Unsaturated
Number of Hetero Atoms : less than 2

```

L14 STRUCTURE UPLOADED

```

=> s l14 ful
FULL SEARCH INITIATED 16:02:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 53982 TO ITERATE

```

```

100.0% PROCESSED 53982 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

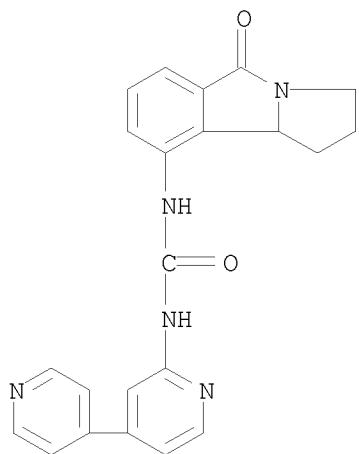
```

L15 0 SEA SSS FUL L14

```

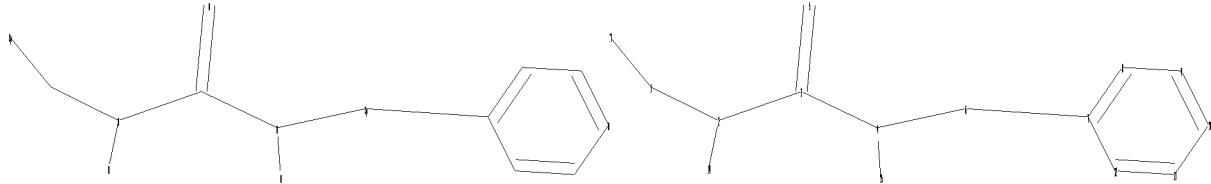
=> d
L15 HAS NO ANSWERS
L14 STR

```



Structure attributes must be viewed using STN Express query preparation.  
 L15 0 SEA FILE=REGISTRY SSS FUL L14

=>  
 Uploading C:\Program Files\Stnexp\Queries\rkc446h.str



chain nodes :  
 1 2 3 4 5 6 14 15 17  
 ring nodes :  
 7 8 9 10 11 12  
 chain bonds :  
 1-2 1-17 2-3 2-14 3-4 3-5 4-6 4-15 6-7  
 ring bonds :  
 7-12 7-8 8-9 9-10 10-11 11-12  
 exact/norm bonds :  
 1-2 1-17 2-3 3-4 3-5 4-6 6-7  
 exact bonds :  
 2-14 4-15  
 normalized bonds :  
 7-12 7-8 8-9 9-10 10-11 11-12

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-Pro

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 14:CLASS 15:CLASS 17:Atom

Generic attributes :

6:

Saturation : Unsaturated  
Number of Hetero Atoms : less than 2

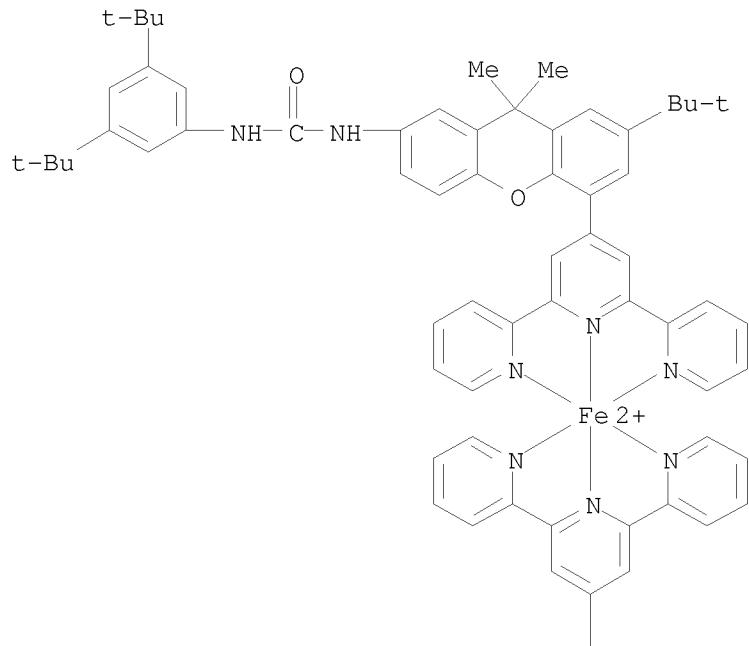
L16 STRUCTURE UPLOADED

=&gt; d

L16 HAS NO ANSWERS

L16 STR

PAGE 1-A



Structure attributes must be viewed using STN Express query preparation.

=&gt; s l16 ful

FULL SEARCH INITIATED 16:06:00 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 89569 TO ITERATE

100.0% PROCESSED 89569 ITERATIONS  
SEARCH TIME: 00.00.01

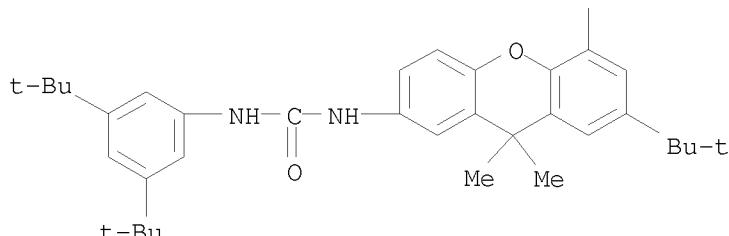
10 ANSWERS

L17 10 SEA SSS FUL L16

=&gt; d 1-10

L17 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 712269-82-4 REGISTRY  
ED Entered STN: 19 Jul 2004  
CN Urea, N-[ (4-methylphenyl)methyl]-N'-[2'-(phenylamino) [4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C25 H23 N5 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

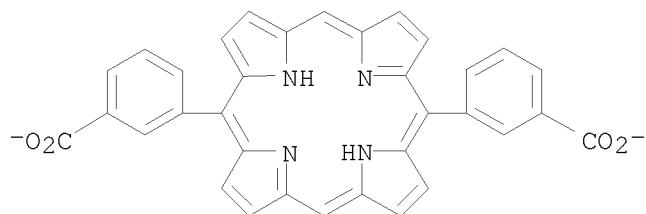
PAGE 2-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

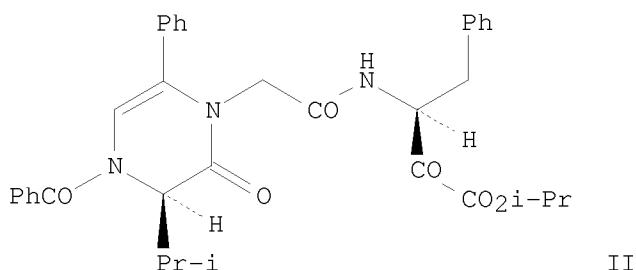
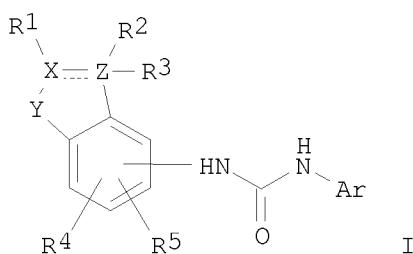
L17 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 712269-53-9 REGISTRY  
ED Entered STN: 19 Jul 2004  
CN Urea, N-[ (2-methylphenyl)methyl]-N'-[2'-(phenylamino) [4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C25 H23 N5 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

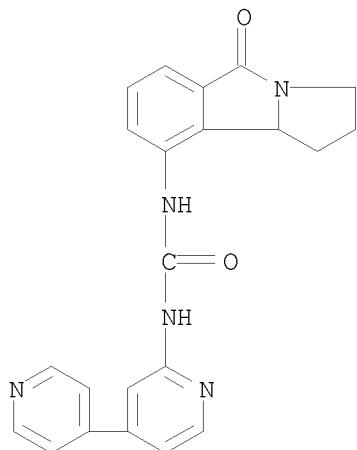
L17 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-48-2 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-[(4-methoxyphenyl)methyl]-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)-(9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C25 H23 N5 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

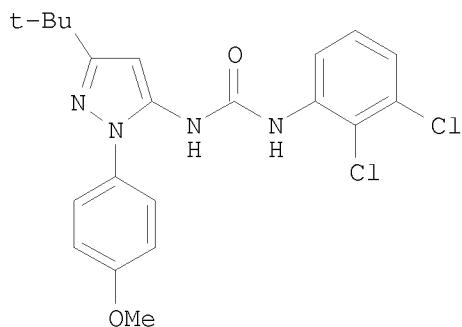
L17 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-35-7 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-[(3,4-dichlorophenyl)methyl]-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)-(9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C24 H19 Cl2 N5 O  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-32-4 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-[(2-chlorophenyl)methyl]-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)-(9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C24 H20 Cl N5 O  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



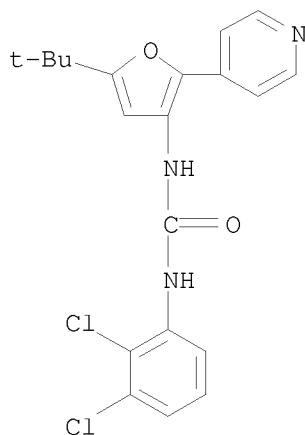
II

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

## 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

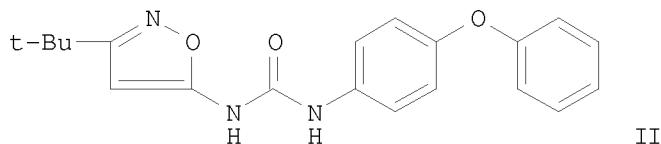
L17 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-29-9 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-[(3-fluorophenyl)methyl]-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C24 H20 F N5 O  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-27-7 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-[(2-fluorophenyl)methyl]-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)- (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C24 H20 F N5 O  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

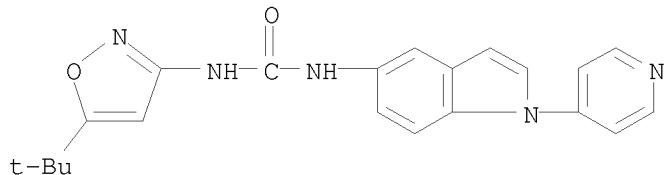


II

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

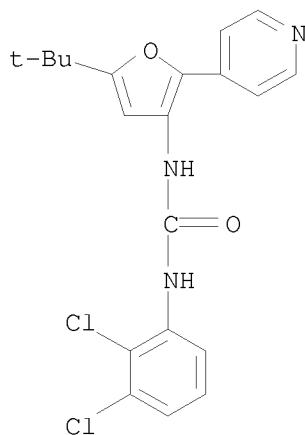
L17 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 712269-08-4 REGISTRY  
 ED Entered STN: 19 Jul 2004  
 CN Urea, N-[1-(4-bromophenyl)ethyl]-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)-(9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C25 H22 Br N5 O  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

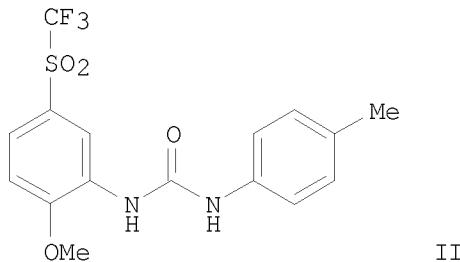
L17 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 125421-93-4 REGISTRY  
 ED Entered STN: 16 Feb 1990  
 CN Benzamide, N,N'-(4,4'-bipyridine)-3,3'-diylbis(iminocarbonyl)]bis[2-chloro-6-fluoro-(9CI) (CA INDEX NAME)  
 MF C26 H16 Cl2 F2 N6 O4  
 SR CA  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS  
 (\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L17 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 125421-89-8 REGISTRY  
 ED Entered STN: 16 Feb 1990  
 CN Benzamide, N,N'-[ [4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro- (9CI) (CA INDEX NAME)  
 MF C26 H16 Cl4 N6 O4  
 SR CA  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS  
 (\*File contains numerically searchable property data)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus	SINCE FILE	TOTAL
COST IN U.S. DOLLARS		

FULL ESTIMATED COST	ENTRY	SESSION
	838.93	1337.18
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
	0.00	-0.73

FILE 'CAPLUS' ENTERED AT 16:06:23 ON 18 JUN 2005  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 18 Jun 2005 VOL 142 ISS 26  
 FILE LAST UPDATED: 17 Jun 2005 (20050617/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 117  
 L18 5 L17

=> d 1-5 fbib abs fhitstr

L18 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:515503 CAPLUS  
 DN 141:71452  
 TI Preparation of pyridine derivatives as JNK inhibitors  
 IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie  
 PA AstraZeneca Ab, Swed.  
 SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

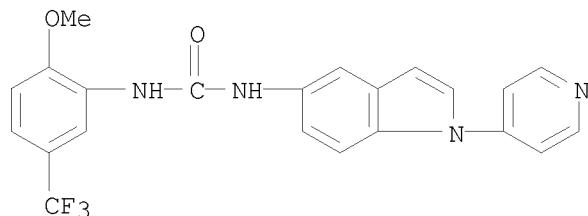
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052880	A1	20040624	WO 2003-SE1911	20031208
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,  
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG  
 SE 2002-3654 A 20021209

OS MARPAT 141:71452

GI



AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, COR3, CONR3R4, NHCOR3, NR3R4, NSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

IT 712269-08-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 4,4-bipyridine-2,2'-diamine derivs. as JNK inhibitors)

RN 712269-08-4 CAPLUS

CN Urea, N-[1-(4-bromophenyl)ethyl]-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl]-(9CI) (CA INDEX NAME)

L18 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1991:228745 CAPLUS

DN 114:228745

TI Preparation of new bis[3-(2,6-disubstituted benzoyl)-1-ureyl]bipyridines as insecticides

IN Sobotka, Wieslaw; Styczynska, Bogumila; Balicki, Roman; Kozlowska, Margarita; Krzeminska, Alicja; Kaczmarek, Lukasz; Ejmocki, Zdzislaw

PA Polska Akademia Nauk, Instytut Chemiczny, Pol.

SO Pol., 5 pp.

CODEN: POXXA7

DT Patent

LA Polish  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	PL 149392	B1	19900228	PL 1987-266054 PL 1987-266054	19870603 19870603
OS	CASREACT 114:228745; MARPAT 114:228745				
GI					

AB Title compds. I (R, R1 = H, C1-4 alkoxy, halo, CF3) are prepared by reaction of corresponding disubstituted benzoyl isocyanates with bipyridine diamines in an inert solvent at 20-120°. For example, 4,4'-bipyridine-3,3'-diamine reacted with 2 mol equiv 2,6-C1FC6H3CONCO in CH2C12 at 40° to give 86.3% title compound II. Eight I showed varying degrees of effectiveness as chitin synthesis inhibitors when applied to larval *Musca domestica*.  
 IT 125421-89-8P  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as insecticide)  
 RN 125421-89-8 CAPLUS  
 CN Benzamide, N,N'-[{4,4'-bipyridine}-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro- (9CI) (CA INDEX NAME)

L18 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1990:606648 CAPLUS  
 DN 113:206648  
 TI Search for new chitin biosynthesis inhibitors and their effects on the housefly (*Musca domestica* L.)  
 AU Balicki, R.; Sobotka, W.; Styszynska, B.  
 CS Inst. Org. Chem., Pol. Acad. Sci., Warsaw, 01 224, Pol.  
 SO Tagungsbericht - Akademie der Landwirtschaftswissenschaften der Deutschen Demokratischen Republik (1989), 274(Insectic.-Mech. Action Resist.), 167-70  
 CODEN: TALDA3; ISSN: 0138-2659  
 DT Journal  
 LA English  
 GI

AB The inhibition of the development of housefly (*Musca domestica*) by 2,6-dichlorobenzoylaryl- or heteroaryl ureas and sym. substituted 2,2', 3,3' and 4,4'-bipyridyls with 2,6-dihalogenobenzoylurea moiety depended on their structure. CF3 and F in para position of aromatic ring inhibited development; compound (I) was the most active against the larvae and adults. Also Br atom in pyridine system increased the activity. Significant inhibition of adults and pupae growth was observed with the 3,3-bipyridyl

derivative (II).  
 IT 125421-93-4  
 RL: BIOL (Biological study)  
 (housefly development inhibition by, structure in relation to)  
 RN 125421-93-4 CAPLUS  
 CN Benzamide, N,N'-[ [4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2-chloro-6-fluoro- (9CI) (CA INDEX NAME)

L18 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1990:531953 CAPLUS  
 DN 113:131953  
 TI Insect chitin formation inhibitors. III. Synthesis and activity of some bis[3-(2,6-dihalobenzoyl)-1-ureido]bipyridines  
 AU Balicki, R.; Kaczmarek, L.; Sobotka, W.; Ejmocki, Z.  
 CS Inst. Org. Chem., Pol. Acad. Sci., Warsaw, PL-01-224, Pol.  
 SO Journal fuer Praktische Chemie (Leipzig) (1989), 331(6), 995-8  
 CODEN: JPCEAO; ISSN: 0021-8383  
 DT Journal  
 LA English  
 OS CASREACT 113:131953  
 GI

AB Eight title compds. I (R, R1 = Cl, F) were prepared in 69-89% yields by a 4-step procedure starting from nitriles II. I have significant activity against house-flies (no data).  
 IT 125421-89-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 125421-89-8 CAPLUS  
 CN Benzamide, N,N'-[ [4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro- (9CI) (CA INDEX NAME)

L18 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1990:114123 CAPLUS  
 DN 112:114123  
 TI The effectiveness of benzoylphenylurea inhibitors of chitin biosynthesis against housefly (*M. domestica* L.) and cockroach (*Blattella germanica* L)  
 AU Styczynska, Bogumila; Krzeminska, Alicja; Sobotka, Wieslaw; Balicki, Roman  
 CS Zakl. Zwalczania Skazek Biol., Panstw. Zakl. Hig., Pol.  
 SO Roczniki Panstwowego Zakladu Higieny (1989), 40(1), 73-85  
 CODEN: RPZHAW; ISSN: 0035-7715  
 DT Journal  
 LA Polish  
 GI

AB Of 20 benzoylphenylureas, comprising 12 I (R = substituted Ph or pyridinyl) and 8 II (R = Cl or F), dietary administration of I (R = C<sub>6</sub>H<sub>4</sub>Cl-4) (III), I (R = 5-bromo-2-pyridinyl) (IV), and II (R = F) most effectively inhibited the development of housefly larvae. III also was highly effective against female imagoes, inhibiting the development of their offspring. I (R = C<sub>6</sub>H<sub>4</sub>F-4) (V), III, and IV were the most effective against cockroach larvae and imagoes. None of the 800 larvae treated with 0.001% V metamorphosed into imagoes. Treated adult females formed cocoons but no larvae hatched from them.

IT 125421-89-8

RL: BIOL (Biological study)  
(chitin formation inhibitor, housefly and cockroach development response to)

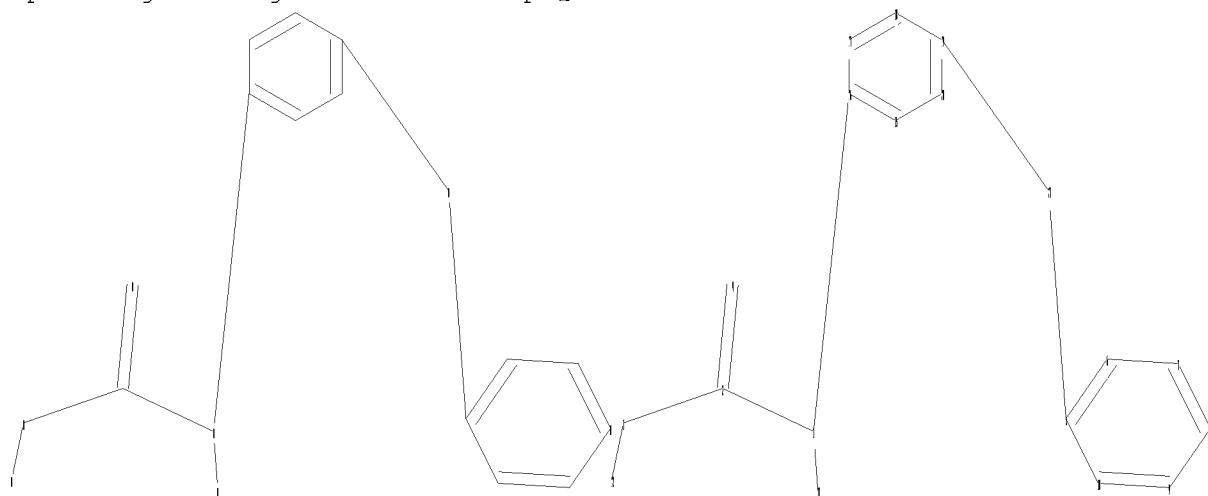
RN 125421-89-8 CAPLUS

CN Benzamide, N,N'-[4,4'-bipyridine]-3,3'-diylbis(iminocarbonyl)]bis[2,6-dichloro- (9CI) (CA INDEX NAME)

=> FIL STNGU

=&gt;

Uploading C:\Program Files\Stnexp\Queries\rkc446i.str



chain nodes :

1 2 3 4 12 13 21

ring nodes :

5 6 7 8 9 10 15 16 17 18 19 20

chain bonds :

1-12 1-2 2-3 2-4 3-13 3-16 5-21 19-21

ring bonds :

5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20

exact/norm bonds :

1-2 2-3 2-4 3-16 5-21 19-21

exact bonds :

1-12 3-13

normalized bonds :

5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 15 :

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-Pro

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

=> s 11 ful  
FULL SEARCH INITIATED 17:22:27 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 3126 TO ITERATE

100.0% PROCESSED 3126 ITERATIONS 1816 ANSWERS  
SEARCH TIME: 00.00.01

L2 1816 SEA SSS FUL L1

=> fil caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
FULL ESTIMATED COST ENTRY SESSION  
161.33 161.54

FILE 'CAPLUS' ENTERED AT 17:22:33 ON 20 JUN 2005  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

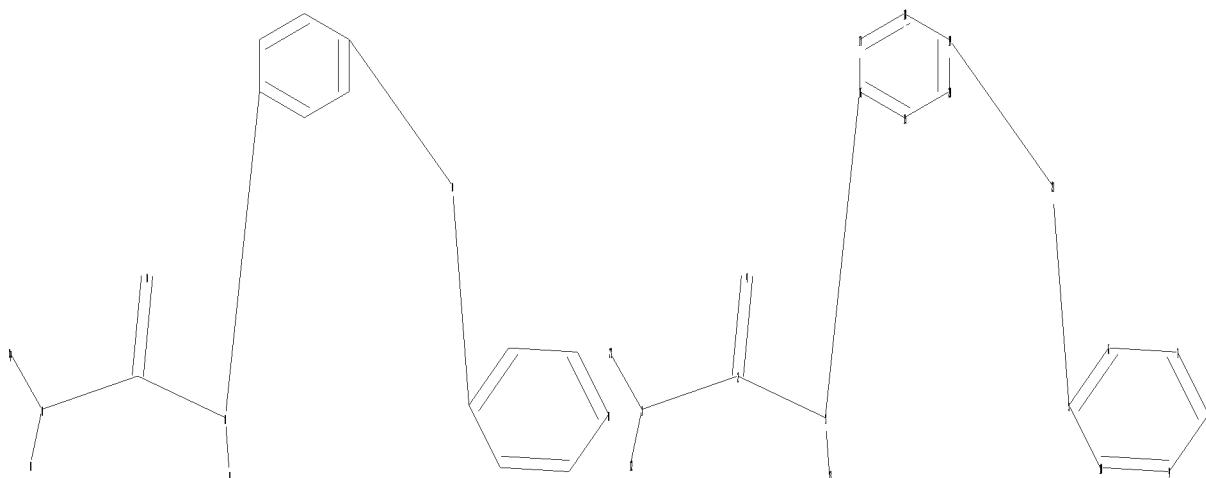
FILE COVERS 1907 - 20 Jun 2005 VOL 142 ISS 26  
FILE LAST UPDATED: 19 Jun 2005 (20050619/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12  
L3 91 L2

=>  
Uploading C:\Program Files\Stnexp\Queries\rkc446j.str



chain nodes :  
 1 2 3 4 12 13 21 23  
 ring nodes :  
 5 6 7 8 9 10 15 16 17 18 19 20  
 chain bonds :  
 1-12 1-2 1-23 2-3 2-4 3-13 3-16 5-21 19-21  
 ring bonds :  
 5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20  
 exact/norm bonds :  
 1-2 1-23 2-3 2-4 3-16 5-21 19-21  
 exact bonds :  
 1-12 3-13  
 normalized bonds :  
 5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20  
 isolated ring systems :  
 containing 15 :

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-Pro

Match level :  
 1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS  
 23:Atom  
 Generic attributes :

23:  
 Number of Carbon Atoms : 7 or more  
 Type of Ring System : Polycyclic

L4 STRUCTURE UPLOADED

=> d  
 L4 HAS NO ANSWERS  
 L4 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*  
 Structure attributes must be viewed using STN Express query preparation.

=> s 14 ful  
 REG1stRY INITIATED  
 Substance data SEARCH and crossover from CAS REGISTRY in progress...  
 Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 17:24:28 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 3126 TO ITERATE

100.0% PROCESSED 3126 ITERATIONS 214 ANSWERS  
 SEARCH TIME: 00.00.01

L5 214 SEA SSS FUL L4

L6 18 L5

=> d

L6 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2005:395257 CAPLUS  
 DN 142:447018  
 TI Preparation of tetrahydronaphthalene and urea derivatives as VR1  
 antagonists for the prophylaxis and treatment of diseases associated with  
 VR1 activity, such as urological diseases, pain and inflammatory diseases  
 IN Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier,  
 Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura,  
 Takeshi; Fujishima, Hiroshi; Seki, Masaomi; Koriyama, Yuji; Yasoshima,  
 Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus;  
 Hayashi, Fumihiro; Tsukimi, Yasuhiro; Gupta, Jang  
 PA Bayer Healthcare Ag, Germany  
 SO PCT Int. Appl., 149 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005040100	A1	20050506	WO 2004-EP11008	20041002
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	EP 2003-23287	A	20031015		
	EP 2003-23288	A	20031015		
	EP 2003-25572	A	20031108		
	EP 2003-25573	A	20031108		

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 1-18 fbib abs fhitstr

L6 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2005:395257 CAPLUS  
 DN 142:447018  
 TI Preparation of tetrahydronaphthalene and urea derivatives as VR1 antagonists for the prophylaxis and treatment of diseases associated with VR1 activity, such as urological diseases, pain and inflammatory diseases  
 IN Bouchon, Axel; Diedrichs, Nicole; Hermann, Achim; Lustig, Klemens; Meier, Heinrich; Pernerstorfer, Josef; Reissmueller, Elke; Mogi, Muneto; Yura, Takeshi; Fujishima, Hiroshi; Seki, Masaomi; Koriyama, Yuji; Yasoshima, Kayo; Misawa, Keiko; Tajimi, Masaomi; Yamamoto, Noriyuki; Urbahns, Klaus; Hayashi, Fumihiro; Tsukimi, Yasuhiro; Gupta, Jang  
 PA Bayer Healthcare Ag, Germany  
 SO PCT Int. Appl., 149 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005040100	A1	20050506	WO 2004-EP11008	20041002
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

EP 2003-23287	A 20031015
EP 2003-23288	A 20031015
EP 2003-25572	A 20031108
EP 2003-25573	A 20031108

GI

AB This invention relates to title compds. of formula A-NH-CO-E (I) [wherein A = 7-hydroxy-5,6,7,8-tetrahydronaphthalen-1-yl, 5,8-dihydrotetranaphthalen-1-yl; indan-4-yl, inden-4-yl, etc.; E = cycloalkyl optionally fused by aryl, (un)substituted Ph, hetero/aryl, NH-(CH<sub>2</sub>)<sub>n</sub>-R<sub>4</sub>, etc.; n = 0-6; R<sub>4</sub> = (un)substituted aryl] and tautomeric or stereoisomers and salts thereof, which are useful as active ingredients of pharmaceutical preps. I have been synthesized as VR1 antagonists, and can be used for the prophylaxis and treatment of diseases associated with VR1 activity, in particular for the treatment of urol. disorders or diseases, pain and inflammatory disorders or diseases. Thus, reacting (6-Ethoxy-5,8-dihydronaphthalen-1-yl)amine (preparation given) with 4-Chloro-3-trifluoromethylbenzene isocyanate gave II. The effects of the compds. were examined in the following several assays and pharmacol. tests: measurement of capsaicin-induced Ca<sup>2+</sup> influx in a human VR1-transfected CHO cell line and in primary cultured rat dorsal root ganglia neurons, resp., measurement of capsaicin-induced bladder contraction, measurement of overactive bladder in anesthetized cystitis rats, measurement of acute pain, persistent pain, neuropathic pain, inflammatory pain and diabetic neuropathic pain (only the 1st assay had data). II showed an IC<sub>50</sub> in the range of 0.1 to 0.6  $\mu$ M in the 1st assay. Specifically disclosed applications of I include the treatment of detrusor overactivity (overactive bladder), urinary incontinence, neurogenic detrusor overactivity (detrusor hyperflexia), idiopathic detrusor overactivity (detrusor instability), benign prostatic hyperplasia, and lower urinary tract symptoms; chronic pain, neuropathic pain, postoperative pain, rheumatoid arthritic pain, neuralgia, neuropathies, algesia, nerve injury, ischemia, neurodegeneration, stroke, and inflammatory disorders such as asthma and chronic obstructive pulmonary (or airways) disease (COPD).

IT 851266-51-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of tetrahydronaphthalene and urea derivs. as VR1 antagonists)

RN 851266-51-8 CAPLUS

CN Urea, N-[4-(4-pyridinyloxy)phenyl]-N'-(5,6,7,8-tetrahydro-7-hydroxy-1-naphthalenyl)-(9CI) (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2005:14200 CAPLUS

DN 142:86701  
 TI Diaryl ureas for treatment of diseases mediated by PDGFR  
 IN Wilhelm, Scott; Dumas, Jacques; Ladouceur, Gaetan; Lynch, Mark; Scott, William J.  
 PA Bayer Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 47 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005000284	A2	20050106	WO 2004-US15653	20040519
	WO 2005000284	A3	20050310		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		US 2003-471735P US 2003-520399P US 2004-556062P	P 20030520 P 20031117 P 20040325	
	US 2005059703	A1	20050317	US 2004-848567 US 2003-471735P US 2003-520399P US 2004-556062P	20040519 P 20030520 P 20031117 P 20040325

## PATENT FAMILY INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004113274	A2	20041229	WO 2004-US15655	20040519
	WO 2004113274	A3	20050303		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		US 2003-471735P US 2003-520399P US 2004-556062P	P 20030520 P 20031117 P 20040325	
	US 2005059703	A1	20050317	US 2004-848567 US 2003-471735P US 2003-520399P US 2004-556062P	20040519 P 20030520 P 20031117 P 20040325

OS MARPAT 142:86701  
 AB The present invention provides methods for treating and/or preventing conditions and diseases in humans and other mammals that are associated with and/or mediated by signal transduction pathways comprising platelet-derived growth factor receptor (PDGFR), especially PDGFR- $\beta$ , by administering diaryl ureas. The present invention also provides devices and methods for treating, ameliorating, preventing, or modulating restenosis following angioplastic surgery or other invasive procedures that affect or injure the vascular system, and graft rejection following transplantation of a donor tissue into a host, where a stent or other implantable device comprises an effective amount of diaryl ureas. For example, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(4-[2-(N-methylcarbamoyl)-4-pyridyloxy]phenyl) urea, N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(4-[2-(N-methylcarbamoyl)-4-pyridyloxy]-2-fluorophenyl) urea, and N-[4-chloro-3-(trifluoromethyl)phenyl]-N'-(4-[2-(N-methylcarbamoyl)-4-pyridyloxy]-2-chlorophenyl)urea showed an IC50 of less than 10  $\mu$ M in a pPDGFR- $\beta$  sandwich ELISA in AoSMC cells.  
 IT 755037-04-8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (diaryl ureas for prevention and/or treatment of diseases mediated by platelet-derived growth factor receptor)  
 RN 755037-04-8 CAPLUS  
 CN Urea, N-[4-[(2-cyano-4-pyridinyl)oxy]-2-fluorophenyl]-N'-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:756711 CAPLUS  
 DN 141:277641  
 TI Preparation of bicyclic (hetero)aryl- and pyridine-containing diaryl ureas as Raf kinase and angiogenesis inhibitors useful in the treatment of cancer and other disorders  
 IN Dumas, Jacques; Boyer, Stephen; Verma, Sharad; Adnane, Lila; Chen, Yuanwei; Lee, Wendy; Phillips, Barton; Smith, Roger A.; Scott, William J.; Burke, Jennifer; Chen, Jianqing; Chen, Zhi; Fan, Jianmei; Miranda, Karl; Raudenbush, Brian; Redman, Aniko; Shao, Jianxing; Su, Ning; Wang, Gan; Yi, Lin; Zhu, Qingming  
 PA Bayer Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 162 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078748	A2	20040916	WO 2004-US6287	20040301
	WO 2004078748	A3	20041111		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,				

MZ, MZ, NA, NI  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-450348P P 20030228

## PATENT FAMILY INFORMATION:

FAN 2004:754414

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078128	A2	20040916	WO 2004-US6295	20040301
	WO 2004078128	A3	20041223		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2003-450324P P 20030228

FAN 2004:756709

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078746	A2	20040916	WO 2004-US6283	20040301
	WO 2004078746	A3	20041202		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2003-450323P P 20030228

FAN 2004:756710

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078747	A1	20040916	WO 2004-US6286	20040301
	WO 2004078747	C1	20041104		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	US 2003-450323P	P	20030228	
	US 2003-450324P	P	20030228	
	US 2003-450348P	P	20030228	
US 2004235829	A1	20041125	US 2004-788029	20040227
			US 2003-450323P	P 20030228
			US 2003-450324P	P 20030228
			US 2003-450348P	P 20030228
US 2004229937	A1	20041118	US 2004-789446	20040301
			US 2003-450323P	P 20030228
			US 2003-450324P	P 20030228
			US 2003-450348P	P 20030228
US 2005032798	A1	20050210	US 2004-788405	20040301
			US 2003-450323P	P 20030228
			US 2003-450324P	P 20030228
			US 2003-450348P	P 20030228
US 2005038031	A1	20050217	US 2004-788426	20040301
			US 2003-450323P	P 20030228
			US 2003-450324P	P 20030228

OS MARPAT 141:277641  
GI

AB Title compds. I [wherein A = benzimidazolyl, 2,3-dihydro-1H-indolyl, 2,3-dihydro-1H-indenyl, 1H- or 2H-indazolyl, 1,3-benzodioxin-6-yl, quinoxaliny, etc.; B = (un)substituted Ph, naphthyl, pyridinyl, quinolinyl; L = (CH<sub>2</sub>)<sub>m</sub>-D-(CH<sub>2</sub>)<sub>n</sub>; m, n = independently 0-4; D = O, C(:O), NH and derivs., NHCO and derivs., S, CONH and derivs.; M = (un)substituted pyridine ring; Q = C(:O)H and derivs., CO<sub>2</sub>H and derivs., CONH<sub>2</sub> and derivs.; and their pharmaceutically acceptable salts, prodrugs, and metabolites] were prepared as Raf kinase inhibitors for treating hyper-proliferative and angiogenesis disorders, alone or in combination with cytotoxic therapies. For example, urea II was prepared from 4-(4-Amino-3-fluorophenoxy)-N-methylpyridine-2-carboxamide (preparation given), triphosgene, 2-aminoquinoxaline, in the presence of DIPEA/anhydrous DMF at 75°. Selected I showed 80% inhibition of c-Raf kinase at 1 μM. Thus, I are useful for treating cancer and other Raf kinase-mediated diseases.

IT 757249-67-5P, 4-[3-Fluoro-4-[[[(1-methyl-1H-indazol-5-yl)amino]carbonyl]amino]phenoxy]-N-methylpyridine-2-carboxamide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Raf kinase inhibitor; preparation of (hetero)aryl- and pyridine-containing diaryl ureas for treating cancer and other disorders)

RN 757249-67-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[3-fluoro-4-[[[(1-methyl-1H-indazol-5-yl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:756710 CAPLUS  
 DN 141:277628  
 TI Preparation of ureidophenoxycyanopyridines as anticancer drugs.  
 IN Scott, William J.; Dumas, Jacques; Boyer, Stephen; Lee, Wendy; Chen, Yuanwei; Phillips, Barton; Verma, Sharad; Chen, Jianqing; Chen, Zhi; Fan, Jianmei; Raudenbush, Brian; Redman, Aniko; Yi, Lin; Zhu, Qingming  
 PA Bayer Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 127 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078747	A1	20040916	WO 2004-US6286	20040301
	WO 2004078747	C1	20041104		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
US	2004235829	A1	20041125	US 2004-788029	20040227
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
US	2004229937	A1	20041118	US 2003-450348P	P 20030228
				US 2004-789446	20040301
				US 2003-450323P	P 20030228
US	2005032798	A1	20050210	US 2003-450324P	P 20030228
				US 2004-788405	20040301
				US 2003-450348P	P 20030228
US	2005038031	A1	20050217	US 2003-450323P	P 20030228
				US 2004-788426	20040301
				US 2003-450324P	P 20030228

## PATENT FAMILY INFORMATION:

FAN 2004:754414

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078128	A2	20040916	WO 2004-US6295	20040301

WO 2004078128 A3 20041223  
 W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,  
 BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,  
 CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,  
 ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,  
 IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC,  
 LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,  
 MZ, MZ, NA, NI  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-450324P P 20030228

FAN 2004:756709

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078746	A2	20040916	WO 2004-US6283	20040301
	WO 2004078746	A3	20041202		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2003-450323P P 20030228

FAN 2004:756711

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078748	A2	20040916	WO 2004-US6287	20040301
	WO 2004078748	A3	20041111		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

US 2003-450348P P 20030228

OS MARPAT 141:277628  
GI

AB Title compds. [I; A = (substituted) pyridinyl, naphthyl, 8-10 membered bicyclic heteroaryl, heterocyclyl, carbocyclyl; B = (substituted) phenylene, naphthylenediyl; L = O, S; m = 0-3; R2 = alkyl, haloalkyl, alkoxy, N-oxo, N-hydroxy], were prepared. Thus, 2-trifluoromethyl-4-pyridylamine was stirred 20 h with carbonyldimidazole in CH<sub>2</sub>Cl<sub>2</sub>; 4-(4-amino-3-fluorophenoxy)pyridine-2-carbonitrile (preparation given) was added followed by stirring for 1 day to give 75% title compound (II). I inhibited c-RAF-1 kinase with IC<sub>50</sub> = 7.86 nM to >1600 nM.

IT 755037-04-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (claimed compound; preparation of ureidophenoxycyanopyridines as anticancer drugs)

RN 755037-04-8 CAPLUS

CN Urea, N-[4-[(2-cyano-4-pyridinyl)oxy]-2-fluorophenyl]-N'-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:756709 CAPLUS  
 DN 141:260780  
 TI Preparation of 2-oxo-1,3,5-perhydrotriazapine derivatives for treatment of hyper-proliferative, angiogenesis, and inflammatory disorders  
 IN Boyer, Stephen; Dumas, Jacques; Phillips, Barton; Scott, William J.; Smith, Roger A.; Chen, Jianqing; James, Benjamin; Wang, Gan  
 PA Bayer Pharmaceuticals Corporation, USA  
 SO PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078746	A2	20040916	WO 2004-US6283	20040301
	WO 2004078746	A3	20041202		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2003-450323P	P 20030228

PATENT FAMILY INFORMATION:

FAN 2004:754414

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

PI	WO 2004078128	A2	20040916	WO 2004-US6295	20040301
	WO 2004078128	A3	20041223		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2003-450324P	P 20030228
FAN	2004:756710				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078747	A1	20040916	WO 2004-US6286	20040301
	WO 2004078747	C1	20041104		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
US	2004235829	A1	20041125	US 2004-788029	20040227
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
US	2004229937	A1	20041118	US 2004-789446	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
US	2005032798	A1	20050210	US 2004-788405	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228
US	2005038031	A1	20050217	US 2004-788426	20040301
				US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
FAN	2004:756711				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078748	A2	20040916	WO 2004-US6287	20040301
	WO 2004078748	A3	20041111		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,  
 BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,  
 CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,  
 ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,  
 IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC,  
 LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,  
 MZ, MZ, NA, NI  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,  
 BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,  
 MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,  
 GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-450348P P 20030228

OS MARPAT 141:260780  
GI

AB The title compds. I [A, B = 5-10 membered cyclic moieties which optionally substituted with 1-4 substituents selected from the group consisting of R1, OR1, NR1R2, etc.; L = a bridging group selected from -(CH<sub>2</sub>)<sub>m</sub>-O-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>m</sub>-(CH<sub>2</sub>)<sub>n</sub>-, -(CH<sub>2</sub>)<sub>m</sub>-C(O)-(CH<sub>2</sub>)<sub>n</sub>-, etc.; m, n = 0-4; M = Ph, naphthyl, 5- or 6- membered monocyclic heteroaryl consisting 1-3 heteroatoms selected from O, N, S, etc.; R1, R2 = H, alkyl, Ph, etc.] were prepared for treating hyper-proliferative and angiogenesis disorders. For example, reaction of 4-[4-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-N-methyl-2-Pyridinecarboxamide with methylamine hydrochloride and formaldehyde furnished compound II. As prodrugs, compds. I will release diaryl ureas of the formula III when administrated.

IT 755037-04-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of diaryl 2-oxo-1,3,5-perhydrotriazapine derivs. for treatment of hyper-proliferative, angiogenesis, and inflammatory disorders)

RN 755037-04-8 CAPLUS

CN Urea, N-[4-[(2-cyano-4-pyridinyl)oxy]-2-fluorophenyl]-N'-(2,2,4,4-tetrafluoro-4H-1,3-benzodioxin-6-yl)-(9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:754414 CAPLUS

DN 141:277492

TI Preparation of pyridine-containing diaryl ureas useful in the treatment of cancer and other disorders

IN Dumas, Jacques; Lee, Wendy; Chen, Yuanwei; Adnane, Lila; Scott, William J.; Verma, Sharad; Chen, Jianging; Chen, Zhi; Yi, Lin

PA Bayer Pharmaceuticals Corporation, USA

SO PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078128	A2	20040916	WO 2004-US6295	20040301
	WO 2004078128	A3	20041223		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2003-450324P	P 20030228

## PATENT FAMILY INFORMATION:

FAN 2004:756709

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078746	A2	20040916	WO 2004-US6283	20040301
	WO 2004078746	A3	20041202		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2003-450323P	P 20030228

FAN 2004:756710

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004078747	A1	20040916	WO 2004-US6286	20040301
	WO 2004078747	C1	20041104		
	W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2003-450323P	P 20030228
				US 2003-450324P	P 20030228
				US 2003-450348P	P 20030228

US 2004235829	A1	20041125	US 2004-788029 US 2003-450323P US 2003-450324P US 2003-450348P	20040227 P 20030228 P 20030228 P 20030228
US 2004229937	A1	20041118	US 2004-789446 US 2003-450323P US 2003-450324P US 2003-450348P	20040301 P 20030228 P 20030228 P 20030228
US 2005032798	A1	20050210	US 2004-788405 US 2003-450323P US 2003-450324P US 2003-450348P	20040301 P 20030228 P 20030228 P 20030228
US 2005038031	A1	20050217	US 2004-788426 US 2003-450323P US 2003-450324P	20040301 P 20030228 P 20030228
FAN 2004:756711				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
PI WO 2004078748	A2	20040916	WO 2004-US6287	20040301
WO 2004078748	A3	20041111		
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			US 2003-450348P	P 20030228
OS MARPAT 141:277492				
GI				

AB The title novel pyridine-containing diaryl ureas ANHC(O)NHBLMQ [A = (un)substituted Ph, naphthyl, heteroaryl, etc.; B = (un)substituted Ph, naphthyl, pyridyl; L = (CH<sub>2</sub>)<sub>m</sub>O(CH<sub>2</sub>)<sub>l</sub>, (CH<sub>2</sub>)<sub>m</sub>(CH<sub>2</sub>)<sub>l</sub>, (CH<sub>2</sub>)<sub>m</sub>C(O)(CH<sub>2</sub>)<sub>l</sub>, etc.; m, l = 0-4; M = (un)substituted pyridine; Q = tetrazolyl, imidazolyl, thiazolinyl, etc.], useful for treating hyper-proliferative and angiogenesis disorders, as a sole agent or in combination with cytotoxic therapies, were prepared and formulated. E.g., a multi-step synthesis of I, was given.

IT 758709-45-4P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of pyridine-containing diaryl ureas for treating cancer and other disorders)

RN 758709-45-4 CAPLUS  
 CN 2-Pyridinecarbothioamide, 4-[4-[(6-quinolinylamino)carbonyl]amino]phenoxy  
 ]- (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:950982 CAPLUS  
 DN 140:16736  
 TI Preparation of diarylurea derivatives useful for the treatment of protein kinase dependent diseases  
 IN Floersheimer, Andreas; Furet, Pascal; Manley, Paul William; Bold, Guido;  
 Boss, Eugen; Guagnano, Vito; Vaupel, Andrea  
 PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SO PCT Int. Appl., 170 pp.  
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099771	A2	20031204	WO 2003-EP5634	20030528
	WO 2003099771	A3	20040401		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR		GB 2002-12413 GB 2003-5684 GB 2003-9219	A 20020529 A 20030312 A 20030423	
	CA 2484288	AA	20031204	CA 2003-2484288 GB 2002-12413 GB 2003-5684 GB 2003-9219	20030528 A 20020529 A 20030312 A 20030423
	BR 2003011313	A	20050215	WO 2003-EP5634 BR 2003-11313 GB 2002-12413 GB 2003-5684 GB 2003-9219	W 20030528 20030528 A 20020529 A 20030312 A 20030423
	EP 1511730	A2	20050309	EP 2003-755147 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK GB 2002-12413 GB 2003-5684 GB 2003-9219	20030528 A 20020529 A 20030312 A 20030423
OS	MARPAT			WO 2003-EP5634	W 20030528
GI					

AB The invention relates to the use of diaryl urea derivs. [I; G is not present and Z = a radical of the formula Q; A = CH, N, N<sup>+</sup>O; A1 = N, N<sup>+</sup>O, with the proviso that not more than one of A and A1 can be N<sup>+</sup>O; n = 1, 2; m = 0-2; p = 0, 2, 3; q = 0-5; X = (un)substituted NH if p = 0; or if p is 2 or 3, X = nitrogen which together with (CH<sub>2</sub>)<sub>p</sub> and the bonds represented in dotted (interrupted) lines (including the atoms to which they are bound) forms a ring, or X = CHK (wherein K = H or lower alkyl) and p = 0, with the proviso that the bonds represented in dotted lines, if p = 0, are absent; Y1 = O, S, CH<sub>2</sub>; Y2 = O, S, NH; with the proviso that (Y1)<sub>n</sub>-(Y2)<sub>m</sub> does not include O-O, S-S, NH-O, NH-S or S-O groups; R1, R2, R3, R5 = independently H or an inorg. or organic moiety or any two of them together form a lower alkyleneoxy bridge bound via the oxygen atoms, and the remaining one of these moieties is hydrogen or an inorg. or organic moiety; R4 (if present, i.e., if q is not zero) is an inorg. or organic moiety] or tautomers thereof or pharmaceutically acceptable salts thereof in the treatment of protein kinase dependent diseases or for the manufacture of pharmaceutical compns. for use in the treatment of said diseases, especially a proliferative disease depending on any one or more of the following (tyrosine) protein kinases such as ras, Abl, VEGF-receptor tyrosine kinase, Flt3, and/or Bcr-Abl activity. Also disclosed are the use of the compds. I for the manufacture of pharmaceutical compns. for use in the treatment of said diseases, methods of use of the compds. I in the treatment of said diseases, pharmaceutical preps. comprising the compds. I for the treatment of said diseases, processes for the manufacture of the compds. I, the use or methods of use of the compds. I as mentioned above, and/or the compds. I for use in the treatment of the animal or human body. For example, N-(4-(pyridin-4-yloxy)phenyl)-N'-(4-2,2,2-trifluoroethoxy-3-trifluoromethylphenyl)urea and N-[4-[6-(4-hydroxyphenylamino)pyrimidin-4-yl]phenyl]-N'-(4-2,2,2-trifluoroethoxy-3-trifluoromethylphenyl)urea at 10 μM inhibited gene c-Abl protein kinase by 98%, Kdr receptor tyrosine kinase by 100 and 96%, resp., and Flt3 receptor tyrosine kinase by 100%.

IT 630125-16-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of diarylurea derivs. useful for the treatment of protein kinase dependent diseases and proliferative diseases)

RN 630125-16-5 CAPLUS  
 CN Urea, N-(2,3-dihydro-8-methoxy-1,4-benzodioxin-6-yl)-N'-(4-(4-pyridinyl)oxy)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:892752 CAPLUS  
 DN 139:381385  
 TI Preparation of quinoline derivatives as inhibitors of autophosphorylation of macrophage colony stimulating factor receptor  
 IN Kubo, Kazuo; Ohno, Hiroaki; Isoe, Toshiyuki; Nishitoba, Tuyoshi  
 PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 174 pp.  
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093238	A1	20031113	WO 2003-JP5593 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	20030501 JP 2002-130049 A 20020501
EP	1535910	A1	20050601	EP 2003-721022 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK	20030501 JP 2002-130049 A 20020501
				WO 2003-JP5593	W 20030501

OS MARPAT 139:381385

GI

AB The title compds. I [wherein X = CH or N; Z = O or S; R1-R3 = independently H, halo, CN, alkyl, alkoxy, alkenyl, alkynyl, NO<sub>2</sub>, (un)substituted amino, hydroxy, CONH<sub>2</sub>, CO<sub>2</sub>H, or H<sub>2</sub>NCO<sub>2</sub>-, etc.; R4 = H; R5-R8 = independently H, halo, alkyl, alkoxy, alkylthio, CF<sub>3</sub>, NO<sub>2</sub>, or amino; R9 and R10 = independently H, alkyl, or alkylcarbonyl; R11 and R12 = independently H or alkyl, etc.; R13 = (hetero)cyclyl, etc.] and pharmaceutically acceptable salts or solvates thereof are prepared as inhibitors of the autophosphorylation of macrophage colony stimulating factor receptor. For example, 4-[(6,7-dimethoxy-4-quinolyl)oxy]aniline was treated with triphosgene in CHCl<sub>3</sub> in the presence of Et<sub>3</sub>N, followed by the addition of 1-(4-fluorophenyl)ethylamine to give the urea compound II (8%). II showed IC<sub>50</sub> of 0.0024 μM against autophosphorylation of c-fms tyrosine kinase in cow.

IT 623142-65-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinoline derivs. as inhibitors of autophosphorylation of macrophage colony stimulating factor receptor)

RN 623142-65-4 CAPLUS

CN Urea, N-[(1S)-2,3-dihydro-1H-inden-1-yl]-N'-(4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:874973 CAPLUS  
DN 139:364831  
TI Preparation of quinolyl, isoquinolyl or pyridyl ureas as inhibitors of raf kinase using  
IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;  
Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger,  
Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.  
PA Bayer Corporation, USA  
SO U.S. Pat. Appl. Publ., 26 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003207914	A1	20031106	US 2002-125369 US 2001-367376P	20020419 P 20010420

OS MARPAT 139:364831  
AB Urea derivs. of general formula A-NHCONH-B, A'-CONH-B', and A''-NHCONH-B'' or pharmaceutically acceptable salts thereof [wherein A = each (un)substituted tert-butylpyridyl, (trifluoromethyl)pyridyl, isopropylpyridyl, 2-methyl-2-butylpyridyl, or 3-methyl-3-pentylpyridyl; A' = each (un)substituted isoquinolinyl or isoquinoliny; A'' = substituted quinolinyl group; B, B' = independently, (un)substituted bridged cyclic structure of up to 30 carbon atoms of the formula -L-(ML1)q (wherein L comprises a cyclic moiety having at least 5 members and is bound directly to D; L1 comprises a cyclic moiety having at least 5 members; M is a bridging group having at least one atom, q is an integer of from 1-3, and each cyclic structure of L and L1 contains 0-4 members of the group consisting of nitrogen, oxygen and sulfur); B'' = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with a cyclic structure bound directly to D containing at least 5 members with 0-4 members of the group consisting of nitrogen, oxygen and sulfur] are prepared. These compds. are useful in treating raf-mediated diseases, in particular cancerous cell growth mediated by a raf kinase. All compds. exemplified, e.g. N-(4-tert-Butylpyridyl)-N'-(2,3-dichlorophenyl)urea, displayed IC50 of between 10 nM and 10  $\mu$ M against ref kinase.  
IT 432050-22-1P, N-(2-Methoxy-3-quinolinyl)-N'-(4-[2-(N-Methylcarbamyl)-4-pyridyloxy]phenyl)urea  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of quinolyl, isoquinolyl or pyridyl ureas as inhibitors of raf kinase)  
RN 432050-22-1 CAPLUS  
CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:850357 CAPLUS  
 DN 137:352907  
 TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase for the treatment of tumors and/or cancerous cell growth  
 IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.  
 PA Bayer Corporation, USA  
 SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548.  
 CODEN: USXXCO

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002165394	A1	20021107	US 2001-777920 US 1999-115877P US 1999-257266 US 1999-425228 US 2001-758548	20010207 P 19990113 B2 19990225 B2 19991022 A2 20010112
	ZA 2001005751	A	20030714	ZA 2001-5751 US 1999-115877P	20010712 P 19990113
	US 2002137774	A1	20020926	US 2001-907970 US 1999-115877P	20010719 P 19990113
	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
		W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
		RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
	US 2003139605	A1	20030724	US 2001-777920 US 2002-71248 US 1999-115877P US 1999-115878P US 1999-257266 US 1999-425228 US 2001-948915	A 20010207 20020211 P 19990113 P 19990113 B2 19990225 B1 19991022 A1 20010910

## PATENT FAMILY INFORMATION:

FAN 2000:493376

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000041698	A1	20000720	WO 2000-US768	20000113
		W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
		RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,		

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 US 1999-115878P P 19990113  
 US 1999-257265 A2 19990225  
 US 1999-425229 A2 19991022  
 CA 2359244 AA 20000720 CA 2000-2359244 20000113  
 US 1999-115878P P 19990113  
 US 1999-257265 A 19990225  
 US 1999-425229 A 19991022  
 WO 2000-US768 W 20000113  
 EP 1158985 A1 20011205 EP 2000-905597 20000113  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 US 1999-115878P P 19990113  
 US 1999-257265 A 19990225  
 US 1999-425229 A 19991022  
 WO 2000-US768 W 20000113  
 US 2003139605 A1 20030724 US 2002-71248 20020211  
 US 1999-115877P P 19990113  
 US 1999-115878P P 19990113  
 US 1999-257266 B2 19990225  
 US 1999-425228 B1 19991022  
 US 2001-948915 A1 20010910  
 US 2003105091 A1 20030605 US 2002-86417 20020304  
 US 1999-115878P P 19990113  
 US 1999-257265 B2 19990225  
 US 1999-425229 B1 19991022  
 FAN 2000:493516  
 PATENT NO. KIND DATE APPLICATION NO. DATE  
 PI WO 2000042012 A1 20000720 WO 2000-US648 20000112  
 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,  
 CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,  
 IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
 MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,  
 SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,  
 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 US 1999-115877P P 19990113  
 US 1999-257266 A2 19990225  
 US 1999-425228 A2 19991022  
 CA 2359510 AA 20000720 CA 2000-2359510 20000112  
 US 1999-115877P P 19990113  
 US 1999-257266 A 19990225  
 US 1999-425228 A 19991022  
 WO 2000-US648 W 20000112  
 AU 2000025016 A5 20000801 AU 2000-25016 20000112  
 US 1999-115877P P 19990113  
 US 1999-257266 A 19990225  
 US 1999-425228 A 19991022  
 WO 2000-US648 W 20000112  
 EP 1140840 A1 20011010 EP 2000-903239 20000112  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO

EE 200100368	A	20030415	US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
			EE 2001-368		20000112
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
JP 2003526613	T2	20030909	JP 2000-593580		20000112
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
BR 2000007487	A	20030923	BR 2000-7487		20000112
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
US 2001011135	A1	20010802	US 2001-773659		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
US 2001011136	A1	20010802	US 2001-773675		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
US 2001016659	A1	20010823	US 2001-773672		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
US 2001027202	A1	20011004	US 2001-773658		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
US 2001034447	A1	20011025	US 2001-773604		20010202
			US 1999-115877P	P	19990113
			US 1999-257266	B2	19990225
			US 1999-425228	A1	19991022
NO 2001003463	A	20010912	NO 2001-3463		20010712
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
ZA 2001005751	A	20030714	ZA 2001-5751		20010712
			US 1999-115877P	P	19990113
US 2002137774	A1	20020926	US 2001-907970		20010719
			US 1999-115877P	P	19990113
BG 105763	A	20020329	BG 2001-105763		20010801
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225
			US 1999-425228	A	19991022
			WO 2000-US648	W	20000112
HR 2001000580	A1	20020831	HR 2001-580		20010802
			US 1999-115877P	P	19990113
			US 1999-257266	A	19990225

US 2002042517	A1	20020411	US 1999-425228 WO 2000-US648 US 2001-948915 US 1999-115877P US 1999-257266 US 1999-425228	A 19991022 W 20000112 20010910 P 19990113 B2 19990225 B1 19991022
US 2003139605	A1	20030724	US 2002-71248 US 1999-115877P US 1999-115878P US 1999-257266 US 1999-425228 US 2001-948915	20020211 P 19990113 P 19990113 B2 19990225 B1 19991022 A1 20010910
FAN 2002:409267				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
PI US 2002065296	A1	20020530	US 2001-838286 US 1999-115878P US 1999-257265 US 1999-425229 US 2001-778039	20010420 P 19990113 B1 19990225 A2 19991022 A2 20010207
US 2003139605	A1	20030724	US 2002-71248 US 1999-115877P US 1999-115878P US 1999-257266 US 1999-425228 US 2001-948915	20020211 P 19990113 P 19990113 B2 19990225 B1 19991022 A1 20010910
CA 2443952	AA	20021031	CA 2002-2443952 US 2001-838286 WO 2002-US12064	20020417 A 20010420 W 20020417
WO 2002085859	A1	20021031	WO 2002-US12064	20020417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1379507	A1	20040114	US 2001-838286	A 20010420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004537511	T2	20041216	EP 2002-725709 WO 2002-US12064	20020417 W 20020417
FAN 2002:615574				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
PI WO 2002062763	A2	20020815	WO 2002-US3361	20020207
WO 2002062763	A3	20021010		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	US 2001-777920	A 20010207
US 2002165394	A1 20021107	US 2001-777920 20010207
		US 1999-115877P 19990113
		US 1999-257266 B2 19990225
		US 1999-425228 B2 19991022
		US 2001-758548 A2 20010112

OS MARPAT 137:352907

GI

AB Title compds. B-NHCONH-L-(M-L1)<sub>q</sub> (I) [B = (un)substituted pyridyl, quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepared. For example, coupling of aniline II, e.g., prepared from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with IC<sub>50</sub> values ranging from 10 nM-10  $\mu$ M. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

IT 432050-22-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 432050-22-1 CAPLUS  
 CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinolinyl)amino]carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:832761 CAPLUS  
 DN 137:337791  
 TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase  
 IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;  
 Hatoum-Mokdad, Holia; Monahan, Mary-Katherine; Gunn, David E.; Lowinger,  
 Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085857	A2	20021031	WO 2002-US12066	20020418
	WO 2002085857	A3	20030116		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2001-838285	A 20010420
	CA 2443950	AA	20021031	CA 2002-2443950	20020418
				US 2001-838285	A 20010420
				WO 2002-US12066	W 20020418
	EP 1379505	A2	20040114	EP 2002-725710	20020418
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2001-838285	A 20010420
				WO 2002-US12066	W 20020418
	JP 2005501813	T2	20050120	JP 2002-583384	20020418
				US 2001-838285	A 20010420
				WO 2002-US12066	W 20020418
OS	MARPAT 137:337791				
AB	Title compds. A-D-B (I) [D = NHCONH; A = (un)substituted t-butylpyridyl, etc.; B = (un)substituted bridged cyclic structure, etc.] and analogs were prepared For instance, 4-tert-butyl-2-aminopyridine was coupled to 4-(4-pyridylmethyl)aniline (CH <sub>2</sub> C <sub>12</sub> , CDI, 0°) to give N-(4-tert-butylpyridyl)-N'-(4-(4-pyridinylmethyl)phenyl)urea as a white solid. Example compds. had IC <sub>50</sub> between 10nM and 10μM for raf kinase. I are useful for the treatment of cancerous cell growth mediated by raf kinase.				
IT	432050-22-1P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)				
RN	432050-22-1 CAPLUS				
CN	2-Pyridinecarboxamide, 4-[4-[(2-methoxy-3-quinoliny)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)				
L6	ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN				
AN	2002:615574 CAPLUS				
DN	137:169425				
TI	Preparation of N-aryl-N'-(acylphenoxy)phenyl]ureas as raf kinase inhibitors				
IN	Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.				
PA	Bayer Corporation, USA				

SO PCT Int. Appl., 125 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2001-777920	A 20010207
	US 2002165394	A1	20021107	US 2001-777920	20010207
				US 1999-115877P	P 19990113
				US 1999-257266	B2 19990225
				US 1999-425228	B2 19991022
				US 2001-758548	A2 20010112

## PATENT FAMILY INFORMATION:

FAN 2000:493376

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000041698	A1	20000720	WO 2000-US768	20000113
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			US 1999-115878P	P 19990113
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			US 1999-257265	A2 19990225
	CA 2359244	AA	20000720	US 1999-425229	A2 19991022
				CA 2000-2359244	20000113
				US 1999-115878P	P 19990113
				US 1999-257265	A 19990225
				US 1999-425229	A 19991022
	EP 1158985	A1	20011205	US 1999-425229	A 19991022
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			WO 2000-US768	W 20000113
				EP 2000-905597	20000113
				US 1999-115878P	P 19990113
				US 1999-257265	A 19990225
				US 1999-425229	A 19991022
	US 2003139605	A1	20030724	WO 2000-US768	W 20000113
				US 2002-71248	20020211
				US 1999-115877P	P 19990113
				US 1999-115878P	P 19990113

US 2003105091	A1	20030605	US 1999-257266	B2 19990225
			US 1999-425228	B1 19991022
			US 2001-948915	A1 20010910
			US 2002-86417	20020304
			US 1999-115878P	P 19990113
			US 1999-257265	B2 19990225
			US 1999-425229	B1 19991022
FAN 2000:493516				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
PI WO 2000042012	A1	20000720	WO 2000-US648	20000112
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			US 1999-115877P	P 19990113
			US 1999-257266	A2 19990225
			US 1999-425228	A2 19991022
CA 2359510	AA	20000720	CA 2000-2359510	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
AU 2000025016	A5	20000801	WO 2000-US648	W 20000112
			AU 2000-25016	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
EP 1140840	A1	20011010	WO 2000-US648	W 20000112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			EP 2000-903239	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
EE 200100368	A	20030415	WO 2000-US648	W 20000112
			EE 2001-368	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
JP 2003526613	T2	20030909	WO 2000-US648	W 20000112
			JP 2000-593580	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
BR 2000007487	A	20030923	WO 2000-US648	W 20000112
			BR 2000-7487	20000112
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
US 2001011135	A1	20010802	WO 2000-US648	W 20000112
			US 2001-773659	20010202

US 2001011136	A1	20010802	US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	A1 19991022
			US 2001-773675	20010202
US 2001016659	A1	20010823	US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	A1 19991022
US 2001027202	A1	20011004	US 2001-773672	20010202
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	A1 19991022
US 2001034447	A1	20011025	US 2001-773604	20010202
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	A1 19991022
NO 2001003463	A	20010912	NO 2001-3463	20010712
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
ZA 2001005751	A	20030714	WO 2000-US648	W 20000112
			ZA 2001-5751	20010712
US 2002137774	A1	20020926	US 1999-115877P	P 19990113
			US 2001-907970	20010719
BG 105763	A	20020329	US 1999-115877P	P 19990113
			BG 2001-105763	20010801
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
HR 2001000580	A1	20020831	WO 2000-US648	W 20000112
			HR 2001-580	20010802
			US 1999-115877P	P 19990113
			US 1999-257266	A 19990225
			US 1999-425228	A 19991022
US 2002042517	A1	20020411	WO 2000-US648	W 20000112
			US 2001-948915	20010910
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B1 19991022
US 2003139605	A1	20030724	US 2002-71248	20020211
			US 1999-115877P	P 19990113
			US 1999-115878P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B1 19991022
			US 2001-948915	A1 20010910
FAN 2002:409267				
PATENT NO.				
-----	-----	-----	-----	-----
PI US 2002065296	A1	20020530	US 2001-838286	20010420
			US 1999-115878P	P 19990113
			US 1999-257265	B1 19990225
			US 1999-425229	A2 19991022
			US 2001-778039	A2 20010207

US 2003139605	A1	20030724	US 2002-71248	20020211
			US 1999-115877P	P 19990113
			US 1999-115878P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B1 19991022
			US 2001-948915	A1 20010910
CA 2443952	AA	20021031	CA 2002-2443952	20020417
			US 2001-838286	A 20010420
			WO 2002-US12064	W 20020417
WO 2002085859	A1	20021031	WO 2002-US12064	20020417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2001-838286	A 20010420
EP 1379507	A1	20040114	EP 2002-725709	20020417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2001-838286	A 20010420
			WO 2002-US12064	W 20020417
JP 2004537511	T2	20041216	JP 2002-583386	20020417
			US 2001-838286	A 20010420
			WO 2002-US12064	W 20020417
FAN 2002:850357				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
PI US 2002165394	A1	20021107	US 2001-777920	20010207
			US 1999-115877P	P 19990113
			US 1999-257266	B2 19990225
			US 1999-425228	B2 19991022
			US 2001-758548	A2 20010112
ZA 2001005751	A	20030714	ZA 2001-5751	20010712
			US 1999-115877P	P 19990113
US 2002137774	A1	20020926	US 2001-907970	20010719
			US 1999-115877P	P 19990113
WO 2002062763	A2	20020815	WO 2002-US3361	20020207
WO 2002062763	A3	20021010		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2001-777920	A 20010207
US 2003139605	A1	20030724	US 2002-71248	20020211
			US 1999-115877P	P 19990113
			US 1999-115878P	P 19990113
			US 1999-257266	B2 19990225

OS	MARPAT 137:169425	US 1999-425228	B1 19991022
GI		US 2001-948915	A1 20010910

AB Title compds., e.g., RNHCONHZOR1 [I; R = C<sub>6</sub>H<sub>4</sub>(CMe<sub>3</sub>)-3, 2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl, 2-methoxy-3-quinolyl, etc.; R<sub>1</sub> = (un)substituted acylphenyl, -acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were prepared. Thus, 4-(H<sub>2</sub>N)C<sub>6</sub>H<sub>4</sub>OC<sub>6</sub>H<sub>4</sub>(CONHMe)-4 (preparation given) was condensed with 3-(Me<sub>3</sub>C)C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> and CO(OCC<sub>13</sub>)<sub>2</sub> to give title compound II. Data for biol. activity of title compds. were given.

IT 432050-22-1P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-aryl-N'-(acylphenoxy)phenyl]ureas as raf kinase inhibitors)

RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinoliny)amino]carbonyl]amin o]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:409267 CAPLUS  
 DN 137:6098  
 TI Heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors  
 IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Sibley, Robert N.;  
 Hatoum-Mokdad, Holia; Monahan, Mary-katherine; Gunn, David E.; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.  
 PA Bayer Corporation, USA  
 SO U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U. S. Ser. No. 778,039.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002065296	A1	20020530	US 2001-838286	20010420
				US 1999-115878P	P 19990113
				US 1999-257265	B1 19990225
				US 1999-425229	A2 19991022
				US 2001-778039	A2 20010207
	US 2003139605	A1	20030724	US 2002-71248	20020211
				US 1999-115877P	P 19990113
				US 1999-115878P	P 19990113
				US 1999-257266	B2 19990225
				US 1999-425228	B1 19991022
				US 2001-948915	A1 20010910
	CA 2443952	AA	20021031	CA 2002-2443952	20020417

WO 2002085859	A1	20021031	US 2001-838286	A 20010420
			WO 2002-US12064	W 20020417
			WO 2002-US12064	20020417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2001-838286	A 20010420
EP 1379507	A1	20040114	EP 2002-725709	20020417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			US 2001-838286	A 20010420
			WO 2002-US12064	W 20020417
JP 2004537511	T2	20041216	JP 2002-583386	20020417
			US 2001-838286	A 20010420
			WO 2002-US12064	W 20020417

## PATENT FAMILY INFORMATION:

FAN 2000:493376

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000041698	A1	20000720	WO 2000-US768	20000113
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				US 1999-115878P	P 19990113
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				US 1999-257265	A2 19990225
				US 1999-425229	A2 19991022
CA 2359244	AA	20000720	CA 2000-2359244		20000113
			US 1999-115878P	P 19990113	
			US 1999-257265	A 19990225	
			US 1999-425229	A 19991022	
			WO 2000-US768	W 20000113	
EP 1158985	A1	20011205	EP 2000-905597	20000113	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			US 1999-115878P	P 19990113	
			US 1999-257265	A 19990225	
			US 1999-425229	A 19991022	
			WO 2000-US768	W 20000113	
US 2003139605	A1	20030724	US 2002-71248	20020211	
			US 1999-115877P	P 19990113	
			US 1999-115878P	P 19990113	
			US 1999-257266	B2 19990225	
			US 1999-425228	B1 19991022	
			US 2001-948915	A1 20010910	

US 2003105091	A1	20030605	US 2002-86417	20020304
			US 1999-115878P	P 19990113
			US 1999-257265	B2 19990225
			US 1999-425229	B1 19991022
FAN 2000:493516				
PATENT NO.		KIND	DATE	APPLICATION NO.
-----		-----	-----	-----
PI	WO 2000042012	A1	20000720	WO 2000-US648 20000112
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 1999-115877P P 19990113				
US 1999-257266 A2 19990225				
US 1999-425228 A2 19991022				
CA 2359510	AA	20000720	CA 2000-2359510 20000112	
US 1999-115877P P 19990113				
US 1999-257266 A 19990225				
US 1999-425228 A 19991022				
AU 2000025016	A5	20000801	WO 2000-US648 W 20000112	
AU 2000-25016 20000112				
US 1999-115877P P 19990113				
US 1999-257266 A 19990225				
US 1999-425228 A 19991022				
WO 2000-US648 W 20000112				
EP 1140840	A1	20011010	EP 2000-903239 20000112	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 1999-115877P P 19990113				
US 1999-257266 A 19990225				
US 1999-425228 A 19991022				
WO 2000-US648 W 20000112				
EE 200100368	A	20030415	EE 2001-368 20000112	
US 1999-115877P P 19990113				
US 1999-257266 A 19990225				
US 1999-425228 A 19991022				
WO 2000-US648 W 20000112				
JP 2003526613	T2	20030909	JP 2000-593580 20000112	
US 1999-115877P P 19990113				
US 1999-257266 A 19990225				
US 1999-425228 A 19991022				
WO 2000-US648 W 20000112				
BR 2000007487	A	20030923	BR 2000-7487 20000112	
US 1999-115877P P 19990113				
US 1999-257266 A 19990225				
US 1999-425228 A 19991022				
WO 2000-US648 W 20000112				
US 2001011135	A1	20010802	US 2001-773659 20010202	
US 1999-115877P P 19990113				
US 1999-257266 B2 19990225				
US 1999-425228 A1 19991022				

US 2001011136	A1	20010802	US 2001-773675 US 1999-115877P US 1999-257266 US 1999-425228	20010202 P 19990113 B2 19990225 A1 19991022
US 2001016659	A1	20010823	US 2001-773672 US 1999-115877P US 1999-257266 US 1999-425228	20010202 P 19990113 B2 19990225 A1 19991022
US 2001027202	A1	20011004	US 2001-773658 US 1999-115877P US 1999-257266 US 1999-425228	20010202 P 19990113 B2 19990225 A1 19991022
US 2001034447	A1	20011025	US 2001-773604 US 1999-115877P US 1999-257266 US 1999-425228	20010202 P 19990113 B2 19990225 A1 19991022
NO 2001003463	A	20010912	NO 2001-3463 US 1999-115877P US 1999-257266 US 1999-425228 WO 2000-US648	20010712 P 19990113 A 19990225 A 19991022 W 20000112
ZA 2001005751	A	20030714	ZA 2001-5751 US 1999-115877P	20010712 P 19990113
US 2002137774	A1	20020926	US 2001-907970 US 1999-115877P	20010719 P 19990113
BG 105763	A	20020329	BG 2001-105763 US 1999-115877P US 1999-257266 US 1999-425228 WO 2000-US648	20010801 P 19990113 A 19990225 A 19991022 W 20000112
HR 2001000580	A1	20020831	HR 2001-580 US 1999-115877P US 1999-257266 US 1999-425228 WO 2000-US648	20010802 P 19990113 A 19990225 A 19991022 W 20000112
US 2002042517	A1	20020411	US 2001-948915 US 1999-115877P US 1999-257266 US 1999-425228	20010910 P 19990113 B2 19990225 B1 19991022
US 2003139605	A1	20030724	US 2002-71248 US 1999-115877P US 1999-115878P US 1999-257266 US 1999-425228 US 2001-948915	20020211 P 19990113 P 19990113 B2 19990225 B1 19991022 A1 20010910

FAN 2002:615574

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062763	A2	20020815	WO 2002-US3361	20020207
	WO 2002062763	A3	20021010		
				W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2002165394 A1 20021107 US 2001-777920 A 20010207  
 US 2001005751 A 20030714 ZA 2001-5751 20010712  
 US 2002137774 A1 20020926 US 2001-907970 20010719  
 WO 2002062763 A2 20020815 WO 2002-US3361 20020207  
 WO 2002062763 A3 20021010  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 US 2003139605 A1 20030724 US 2001-777920 A 20010207  
 OS MARPAT 137:6098  
 AB This invention relates to the use of a group of heteroaryl ureas (I; for example, N-(2-methoxy-3-quinolyl)-N'-(4-[3-(N-methylcarbamoyl)phenoxy]phenyl)urea) containing N in treating p38 mediated diseases, and pharmaceutical compns. for use in such therapy. I is A-NHC(O)NH-B or a pharmaceutically acceptable salt thereof, wherein A is a substituted or unsubstituted pyridyl, quinolinyl or isoquinolinyl group, B is a substituted or unsubstituted, up to tricyclic aryl or heteroaryl moiety of up to 50 C atoms with a cyclic structure bound directly to N, containing at least 5 cyclic members with 0-4 members of groups consisting of N, O and S. Information about the substituents for A and B are given in the claims. Although the methods of preparation are not claimed, 37 example preps. are included as well as examples of preparation of intermediates. No pharmacol. data is included.  
 IT 432050-22-1P, N-(2-Methoxy-3-quinoliny)-N'-(4-(2-(N-Methylcarbamyl)-4-pyridyloxy)phenyl)urea  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heteroaryl ureas containing nitrogen hetero-atoms as p38 kinase inhibitors)

RN 432050-22-1 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[(2-methoxy-3-quinoliny)amino]carbonyl]aminophenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:314913 CAPLUS

DN 136:340689

TI Preparation of urea derivatives containing nitrogenous aromatic ring compounds as inhibitors of angiogenesis

IN Funahashi, Yasuhiro; Tsuruoka, Akihiko; Matsukura, Masayuki; Haneda, Toru; Fukuda, Yoshio; Kamata, Junichi; Takahashi, Keiko; Matsushima, Tomohiro; Miyazaki, Kazuki; Nomoto, Kenichi; Watanabe, Tatsuo; Obaishi, Hiroshi; Yamaguchi, Atsumi; Suzuki, Sachie; Nakamura, Katsushi; Mimura, Fusayo; Yamamoto, Yuji; Matsui, Junji; Matsui, Kenji; Yoshiba, Takako; Suzuki, Yasuyuki; Arimoto, Itaru

PA Eisai Co., Ltd., Japan

SO PCT Int. Appl., 699 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002032872	A1	20020425	WO 2001-JP9221	20011019
	WO 2002032872	C1	20020926		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			JP 2000-320420	A 20001020
				JP 2000-386195	A 20001220
				JP 2001-46685	A 20010222
	CA 2426461	AA	20020425	CA 2001-2426461	20011019
				JP 2000-320420	A 20001020
				JP 2000-386195	A 20001220
				JP 2001-46685	A 20010222
				WO 2001-JP9221	W 20011019
	AU 2001095986	A5	20020429	AU 2001-95986	20011019
				JP 2000-320420	A 20001020
				JP 2000-386195	A 20001220
				JP 2001-46685	A 20010222
				WO 2001-JP9221	W 20011019
	EP 1415987	A1	20040506	EP 2001-976786	20011019

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY, TR

			JP 2000-320420	A 20001020
			JP 2000-386195	A 20001220
			JP 2001-46685	A 20010222
			WO 2001-JP9221	W 20011019
EP 1506962	A2	20050216	EP 2004-25700	20011019
EP 1506962	A3	20050302		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR			JP 2000-320420	A 20001020
			JP 2000-386195	A 20001220
			JP 2001-46685	A 20010222
			EP 2001-976786	A3 20011019
NZ 525324	A	20050324	NZ 2001-525324	20011019
			JP 2000-320420	A 20001020
			JP 2000-386195	A 20001220
			JP 2001-46685	A 20010222
			WO 2001-JP9221	W 20011019
NO 2003001731	A	20030619	NO 2003-1731	20030414
			JP 2000-320420	A 20001020
			JP 2000-386195	A 20001220
			JP 2001-46685	A 20010222
			WO 2001-JP9221	W 20011019
US 2004053908	A1	20040318	US 2003-420466	20030418
			JP 2000-320420	A 20001020
			JP 2000-386195	A 20001220
			JP 2001-46685	A 20010222
			WO 2001-JP9221	A2 20011019
ZA 2003003567	A	20040810	ZA 2003-3567	20030508
			JP 2000-320420	A 20001020

OS MARPAT 136:340689  
GI

AB N-aryl or N-heteroarylurea derivs. represented by the general formula Ag-Xg-Yg-Tg1 or salts thereof, or hydrates of both [wherein Ag = (un)substituted C6-14 aryl or 5- to 14-membered heterocyclic group; Xg = single bond, O, S, C1-6 alkylene, SO, SO<sub>2</sub>, (un)substituted NH; Yg = (un)substituted C6-14 aryl, 5- to 14-membered heterocyclic group, C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl-C1-6 alkyl, 5- to 14-membered heteroaryl-C1-6 alkyl, (CH<sub>2</sub>)<sub>g</sub>SO<sub>2</sub> (g = 1-8), (CH<sub>2</sub>)<sub>fa</sub>CH:CH(CH<sub>2</sub>)<sub>fb</sub> (fa, fb = 0, 1, 2, 3), etc.; and Tg1 = a group of the general formula -Eg-CO-NRg1(Zg) or Q; wherein Eg = a single bond, (un)substituted NH; Rg1 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 aliphatic hydrocarbyl, etc.; Zg = C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl, etc.; Zg1, Zg2 = (a) a single bond, (b) C1-6 alkylene optionally having ≥1 atoms selected from O, S, and N in the middle or the terminus of the chain and optionally substituted with oxo, (c) (un)substituted C2-6 alkenyl] are prepared. These compds. are also inhibitors of vascular endothelial growth factor receptor kinase (VEGFR2 kinase) and are useful as antitumor agents against hemangioma, pancreatic

cancer, stomach cancer, colon cancer, breast cancer, prostate cancer, lung cancer, brain tumor, leukemia, or ovarian cancer, as cancer metastasis inhibitors, and for the treatment of retina neovascularization, diabetic retinopathy, atherosclerosis, or inflammatory diseases such as osteoarthritis, rheumatoid arthritis, psoriasis, or delayed hypersensitivity. Thus, to a solution of 334 mg 4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenylamine in 4 mL DMF were added 0.066 mL pyridine and 0.102 mL Ph chlorocarbonate and stirred at room temperature for 2.5 h to give 330 mg N-[4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea which (260 mg) was hydrogenolyzed over platinum oxide in ethanol overnight to give 160 mg N-[4-[6-(4-hydroxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea (I). I showed IC<sub>50</sub> of 0.02 nM for inhibiting the vascular endothelial growth factor (VEGF)-stimulated sandwich tube formation in vascular endothelial cell.

IT 417713-68-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of urea derivs. containing nitrogenous aromatic ring compds. as angiogenesis inhibitors for prevention or treatment of diseases)

RN 417713-68-9 CAPLUS

CN Urea, N-1H-benzimidazol-2-yl-N'-(4-[[6-cyano-7-(2-methoxyethoxy)-4-quinolinyl]oxy]phenyl)-(9CI) (CA INDEX NAME)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:513673 CAPLUS

DN 133:135235

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,				

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
CA 2361057	AA	20000727	CA 2000-2361057		20000120
			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
			WO 2000-JP255	W	20000120
BR 2000007656	A	20011030	BR 2000-7656		20000120
			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
			WO 2000-JP255	W	20000120
EP 1153920	A1	20011114	EP 2000-900841		20000120
EP 1153920	B1	20031029			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
			WO 2000-JP255	W	20000120
TR 200102090	T2	20020121	TR 2001-200102090		20000120
			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
JP 2003286263	A2	20031010	JP 2003-128216		20000120
			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
			JP 2000-594782	A3	20000120
NZ 513006	A	20031031	NZ 2000-513006		20000120
			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
			WO 2000-JP255	W	20000120
AT 253051	E	20031115	AT 2000-900841		20000120
			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907
			WO 2000-JP255	W	20000120
EP 1384712	A1	20040128	EP 2003-24911		20000120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY			JP 1999-14858	A	19990122
			JP 1999-26691	A	19990203
			JP 1999-142493	A	19990521
			JP 1999-253624	A	19990907

AU 771504	B2	20040325	EP 2000-900841 AU 2000-30748 JP 1999-14858 JP 1999-26691 JP 1999-142493 JP 1999-253624 WO 2000-JP255	A3 20000120 20000120 A 19990122 A 19990203 A 19990521 A 19990907 W 20000120
JP 3519368	B2	20040412	JP 2000-594782 JP 1999-14858 JP 1999-26691 JP 1999-142493 JP 1999-253624 WO 2000-JP255	20000120 A 19990122 A 19990203 A 19990521 A 19990907 W 20000120
ES 2208261	T3	20040616	ES 2000-900841 JP 1999-14858 JP 1999-26691 JP 1999-142493 JP 1999-253624	20000120 A 19990122 A 19990203 A 19990521 A 19990907
NO 2001002617	A	20010914	NO 2001-2617 JP 1999-14858 JP 1999-26691 JP 1999-142493 JP 1999-253624 WO 2000-JP255	20010529 A 19990122 A 19990203 A 19990521 A 19990907 W 20000120
US 6797823	B1	20040928	US 2001-889858 JP 1999-14858 JP 1999-26691 JP 1999-142493 JP 1999-253624 WO 2000-JP255	20010723 A 19990122 A 19990203 A 19990521 A 19990907 W 20000120
US 2004209905	A1	20041021	US 2004-842009 JP 1999-14858 JP 1999-26691 JP 1999-142493 JP 1999-253624 WO 2000-JP255 US 2001-889858	20040510 A 19990122 A 19990203 A 19990521 A 19990907 W 20000120 A3 20010723
OS MARPAT 133:135235				
GI				

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. containing the same are prepared and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compound I (X = CH; Z = CH; R1, R4, R5, R7-R10 each an H; R11 = 3,5-F2C6H3) was prepared and tested.

IT 286369-76-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and antitumor activity of quinolines and quinazolines)  
 RN 286369-76-4 CAPLUS  
 CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1999:425745 CAPLUS  
 DN 131:87909  
 TI Inhibition of p38 kinase activity using substituted heterocyclic ureas  
 IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger;  
 Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.;  
 Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 126 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932111	A1	19990701	WO 1998-US26080	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			US 1997-995750	A 19971222
	CA 2315720	AA	19990701	CA 1998-2315720	19981222
				US 1997-995750	A 19971222
				WO 1998-US26080	W 19981222
	AU 9919971	A1	19990712	AU 1999-19971	19981222
	AU 739642	B2	20011018	US 1997-995750	A 19971222
				WO 1998-US26080	W 19981222
	EP 1041982	A1	20001011	EP 1998-964709	19981222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			US 1997-995750	A 19971222
				WO 1998-US26080	W 19981222
	JP 2001526223	T2	20011218	JP 2000-525102	19981222
				US 1997-995750	A 19971222
				WO 1998-US26080	W 19981222
OS	MARPAT 131:87909				
GI					

AB A method for treatment of p38-mediated disease other than cancer comprises administration of ANHCONHB [I; A = substituted isoxazolyl, pyrazolyl, thienyl, furyl; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing  $\geq 1$  5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-(4-pyridinylthio)aniline with 3-tert-butyl-5-isoxazolyl isocyanate in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC<sub>50</sub> values of 1-10  $\mu$ M.

IT 229155-61-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted heterocyclic ureas for treatment of p38 kinase-mediated diseases other than cancer)

RN 229155-61-7 CAPLUS

CN Urea, N-(6-chloro-1H-indazol-3-yl)-N'-(4-(4-pyridinyl)phenyl)- (9CI)  
 (CA INDEX NAME)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1999:421642 CAPLUS  
 DN 131:58658  
 TI Inhibition of raf kinase using symmetrical and unsymmetrical substituted diphenyl ureas  
 IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 89 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932436	A1	19990701	WO 1998-US26081	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			US 1997-996344	A 19971222
CA	2315646	AA	19990701	CA 1998-2315646	19981222
				US 1997-996344	A 19971222
				WO 1998-US26081	W 19981222
AU	9919054	A1	19990712	AU 1999-19054	19981222
AU	763024	B2	20030710	US 1997-996344	A 19971222
				WO 1998-US26081	W 19981222

EP 1049664	A1	20001108	EP 1998-963809	19981222
EP 1049664	B1	20050316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
TR 200002616	T2	20001121	TR 2000-200002616	19981222
			US 1997-996344	A 19971222
TR 200100874	T2	20010621	TR 2001-200100874	19981222
			US 1997-996344	A 19971222
JP 2001526258	T2	20011218	JP 2000-525373	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
BR 9814375	A	20020521	BR 1998-14375	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
NZ 505843	A	20030630	NZ 1998-505843	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
EP 1449834	A2	20040825	EP 2003-26051	19981222
EP 1449834	A3	20041222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
			US 1997-996344	A 19971222
			EP 1998-963809	A3 19981222
RU 2247109	C2	20050227	RU 2000-120165	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
AT 291011	E	20050415	AT 1998-963809	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
NO 2000003230	A	20000821	NO 2000-3230	20000621
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
BG 104599	A	20010330	BG 2000-104599	20000712
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
OS MARPAT 131:58658				
GI				

AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A = certain (un)substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepared. For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtOAc gave title compound II. In an in vitro raf kinase assay, all compds. displayed IC50 values between 1 nM and 10  $\mu$ M.

IT 228400-71-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of sym. and unsym. substituted di-Ph ureas with inhibitory effects on tumors mediated by raf kinase)

RN 228400-71-3 CAPLUS  
 CN Urea, N-(3-methoxy-2-naphthalenyl)-N'-(4-(4-pyridinyloxy)phenyl)- (9CI)  
 (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1997:414195 CAPLUS  
 DN 127:34137  
 TI Preparation of quinoline and quinazoline derivatives inhibiting platelet-derived growth factor receptor autophosphorylation  
 IN Kubo, Kazuo; Ohyama, Shinichi; Shimizu, Toshiyuki; Nishitoba, Tsuyoshi; Kato, Shinichiro; Murooka, Hideko; Kobayashi, Yoshiko; et al.  
 PA Kirin Beer Kabushiki Kaisha, Japan  
 SO PCT Int. Appl., 243 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9717329	A1	19970515	WO 1996-JP3229	19961105
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			JP 1995-313555	A 19951107
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			JP 1996-62121	A 19960223
AU	9673400	A1	19970529	AU 1996-73400	19961105
				JP 1995-313555	A 19951107
				JP 1996-62121	A 19960223
				WO 1996-JP3229	W 19961105
EP	860433	A1	19980826	EP 1996-935541	19961105
EP	860433	B1	20020703		
	R: CH, DE, FR, GB, LI			JP 1995-313555	A 19951107
				JP 1996-62121	A 19960223
				WO 1996-JP3229	W 19961105
TW	483891	B	20020421	TW 1996-85113529	19961106
				JP 1995-313555	A 19951107
				JP 1996-62121	A 19960223
US	6143764	A	20001107	US 1998-68660	19980506
				JP 1995-313555	A 19951107
				JP 1996-62121	A 19960223
				WO 1996-JP3229	W 19961105

OS MARPAT 127:34137  
GI

AB The title compds. I [R1 and R2 represent each H or C1-4 alkyl, or R1 and R2 together form C1 to C3 alkylene; X represents O, S or CH2; W represents CH or N; and Q represents substituted aryl or substituted heteroaryl] are prepared I inhibit platelet-derived growth factor receptor autophosphorylation and are useful in the treatment of cancer, arthritis, etc. The title compound II (preparation given) (at 100 mg/kg i.p. once daily for 9 days) increased the survival of mice with transplanted leukemic P388 cells by 130%.

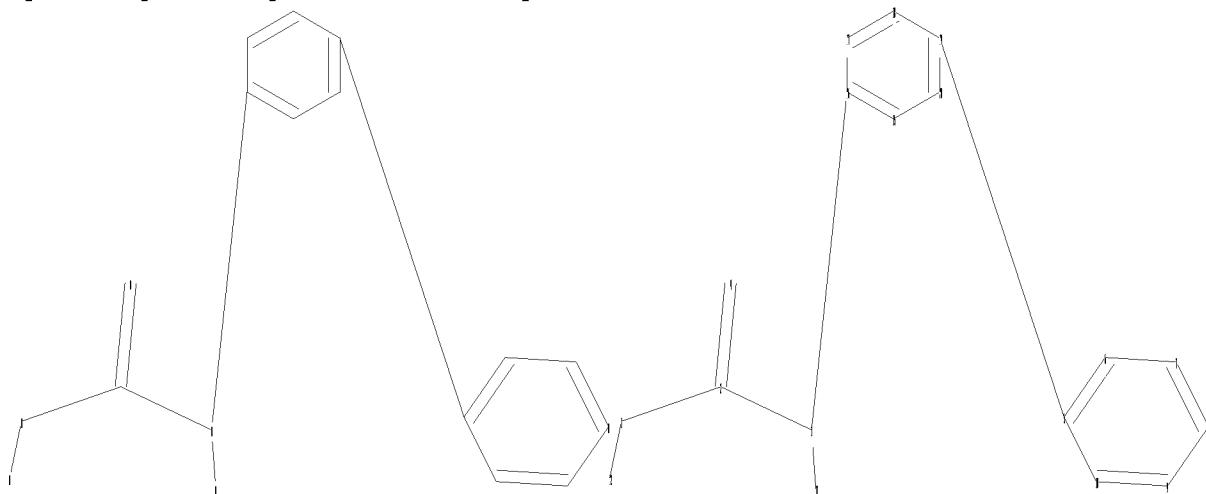
IT 190727-25-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of quinoline and quinazoline derivs. inhibiting platelet-derived growth factor receptor autophosphorylation)

RN 190727-25-4 CAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-1-naphthalenyl- (9CI) (CA INDEX NAME)

=&gt;

Uploading C:\Program Files\Stnexp\Queries\rkc446m.str



chain nodes :

1 2 3 4 12 13

ring nodes :

5 6 7 8 9 10 15 16 17 18 19 20

chain bonds :

1-12 1-2 2-3 2-4 3-13 3-16 5-19

ring bonds :

5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20

exact/norm bonds :

1-2 2-3 2-4 3-16

exact bonds :

1-12 3-13 5-19

normalized bonds :

5-10 5-6 6-7 7-8 8-9 9-10 15-16 15-20 16-17 17-18 18-19 19-20

isolated ring systems :

containing 15 :

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-Pro

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
12:CLASS 13:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

L1 STRUCTURE UPLOADED

=> ld  
 LD IS NOT A RECOGNIZED COMMAND  
 The previous command name entered was not recognized by the system.  
 For a list of commands available to you in the current file, enter  
 "HELP COMMANDS" at an arrow prompt (=>).

=> d  
 L1 HAS NO ANSWERS  
 L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*  
 Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful  
 FULL SEARCH INITIATED 16:27:11 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 662 TO ITERATE  
 100.0% PROCESSED 662 ITERATIONS 32 ANSWERS  
 SEARCH TIME: 00.00.01

L2 32 SEA SSS FUL L1

=> fil caplus  
 COST IN U.S. DOLLARS SINCE FILE TOTAL  
 ENTRY SESSION  
 FULL ESTIMATED COST 161.33 161.54

FILE 'CAPLUS' ENTERED AT 16:27:17 ON 22 JUN 2005  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 22 Jun 2005 VOL 142 ISS 26  
 FILE LAST UPDATED: 21 Jun 2005 (20050621/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12  
L3 11 L2

=> d 1-11 fbib abs fhitstr

L3 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:737759 CAPLUS  
DN 139:261291  
TI Preparation of condensed heterocyclic compounds such as 5-oxo-7,8,9,9a-tetrahydro-5H-pyrido[2,3-a]pyrrolizine derivatives as calcitonin agonists  
IN Bhandari, Ashok; Boros, Eric Eugene; Cowan, David John; Handlon, Anthony Louis; Hyman, Clifton Earl; Oplinger, Jeffrey Alan; Rabinowitz, Michael Howard; Turnbull, Philip Stewart  
PA Smithkline Beecham Corporation, USA  
SO PCT Int. Appl., 174 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003076440	A1	20030918	WO 2003-US5605	20030224
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			US 2002-362011P	P 20020306
US	2005107419	A1	20050519	US 2003-507006	20030224
				US 2002-362011P	P 20020306
				WO 2003-US5605	W 20030224

OS MARPAT 139:261291  
GI

AB The title compds. [I; R = each (un)substituted aryl, heteroaryl, alkyl, or cycloalkyl, further wherein said aryl, heteroaryl, alkyl, or cycloalkyl; Z = H, alkyl, halogen, CO2R5, CON(R5)2, CONHN(R5)2, NHCON(R5)2, SO2N(R5)2, CH2NHCOR5, NO2, N(R5)2, NHCOR5, N(R5)SO2N(R5)2, OR5, CH2N(R5)2, CH2CON(R5)2, CH2CO2R5, (un)substituted heteroaryl; R5 = independently H, alkyl, trifluoromethyl, each (un)substituted aryl, heteroaryl, aralkyl, heteroaralkyl, cycloalkyl, heterocyclyl, fused cycloalkylaryl, or fused heterocyclaryl; R1 = H, alkyl, CO2R5, COR5, CON(R5)2, cyano, NO2, N(R5)2, SO2R5, SO2N(R5)2, NHCOR5, NHCON(R5)2; R2 = alkyl, CF3, alkoxy, aryl, heteroaryl, aralkyl, heteroaralkyl, alkoxyaryl, further wherein said

alkyl, aryl, heteroaryl, aralkyl, and heteroaralkyl may be substituted with one or more of halogen, CF<sub>3</sub>, or alkoxy; or R1 and R2 combine to form a 5- or 6-membered ring, optionally containing one or more heteroatom, optionally containing one or more degrees of unsatn., and optionally substituted one or more times with oxo, hydroxy, halogen, alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, further wherein said alkyl, aryl, heteroaryl, aralkyl, and heteroaralkyl may be substituted with one or more of halogen, CF<sub>3</sub>, or alkoxy; A = C, N; Y = C, N; X = S, O, N(R5), C(R5)2, SO<sub>2</sub>; n = 1, 2, 3, or 4], salts, solvates, and pharmaceutically functional derivs. thereof are prepared. These compds. are useful in the treatment and prevention of diseases or conditions which are related to irregular calcification or those mediated by calcitonin. They are used in therapies for osteopenia and osteoporosis in men and women; reduction in the risk of fractures, both vertebral and nonvertebral; Paget's disease; bone fracture or deficiency; primary or secondary hyperparathyroidism; periodontal disease or defect; metastatic bone disorder; osteolytic bone disease; post-plastic surgery; post-prosthetic joint surgery; postdental implantation; hypercalcemia; bone pain, general pain, and hyperalgesia; conditions associated with inhibiting gastric secretion; gastrointestinal disorders; osteoarthritis and rheumatoid arthritis; renal osteodystrophy; obesity by induction of satiety; and male infertility. Thus, 4-[3-(Ethoxycarbonyl)-2-[2-(4-fluorophenyl)ethyl]-5-oxo-8,9-dihydro-5H,7H-pyrazolo[1'2':1,2]pyrazolo[3,4-b]pyridin-4-yl]benzoic acid was condensed with furfurylamine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBT-H<sub>2</sub>O in DMF at room temperature for 4 h to give 2-[2-(4-fluorophenyl)ethyl]-4-[4-[(2-furylmethyl)amino]carbonyl]phenyl]-5-oxo-8,9-dihydro-5H,7H-pyrazolo[1',2':1,2]pyrazolo[3,4-b]pyridine-3-carboxylate (II). In an CRE-luciferase reporter assay, II activated the human calcitonin-2 receptor (HCT2R) expressed in CHO-6CRE-luciferase cells with E<sub>50</sub> of  $\leq$ 10 nM.

IT 603998-38-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of condensed heterocyclic compds. such as 5-oxo-7,8,9,9a-tetrahydro-5H-pyrido[2,3-a]pyrrolizine derivs. as calcitonin agonists for drugs)

RN 603998-38-5 CAPLUS

CN 5H-Pyrido[2,3-a]pyrrolizine-3-carboxylic acid, 7,8,9,9a-tetrahydro-5-oxo-4-[4-[(phenylamino)carbonyl]amino]phenyl]-2-[2-[4-(trifluoromethyl)phenyl]ethyl]-, ethyl ester, (9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:479146 CAPLUS

DN 133:350031

TI Synthesis of 1,1'-polymethylenebis-(3-substituted) ureas and related compounds of potential biological interest

AU Yonova, P. A.; Ionov, I. P.

CS Acad. M. Popov Institute of Plant Physiology, Bulgarian Academy of

Sciences, Sofia, 1113, Bulg.  
 SO Dokladi na Bulgarskata Akademiya na Naukite (1999), 52(3-4), 53-56  
 CODEN: DBANEH; ISSN: 0861-1459  
 PB Bulgarska Akademiya na Naukite  
 DT Journal  
 LA English  
 OS CASREACT 133:350031  
 AB RNHCONH(CH<sub>2</sub>)<sub>n</sub>NHCONHR [I, R = Ph, 3-FC<sub>6</sub>H<sub>4</sub>, 4-FC<sub>6</sub>H<sub>4</sub>, 3-C<sub>1</sub>C<sub>6</sub>H<sub>4</sub>, 4-C<sub>1</sub>C<sub>6</sub>H<sub>4</sub>, n = 2-6; R = 2-thiazolyl, 4-pyridyl, 4-picoly1, 3,5-dichloro-4-pyridyl, n = 6] were pred. from RNCO and H<sub>2</sub>N(CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub> or from RNH<sub>2</sub> and H<sub>2</sub>N(CH<sub>2</sub>)<sub>6</sub>NH<sub>2</sub>. I have antisenescence activity comparable to that of PhNHCONHPh and putrescine.  
 IT 306326-84-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of polymethylenebis(arylureas) as senescence inhibitors)  
 RN 306326-84-1 CAPLUS  
 CN Urea, N,N'-(1,6-hexanediy1)bis[N'-(4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1999:404951 CAPLUS  
 DN 131:58850  
 TI Preparation of quinolinepiperazine and quinolinepiperidine derivatives and their use as combined 5-HT<sub>1A</sub>, 5-HT<sub>1B</sub>, and 5-HT<sub>1D</sub> receptor antagonists  
 IN Gaster, Laramie Mary  
 PA Smithkline Beecham Plc, UK  
 SO PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9931086	A1	19990624	WO 1998-EP7804	19981202
	W: CA, JP, US			GB 1997-26364	A 19971212
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			GB 1997-26905	A 19971219
				GB 1998-317	A 19980107
	CA 2313125	AA	19990624	CA 1998-2313125	19981202
				GB 1997-26364	A 19971212
				GB 1997-26905	A 19971219
				GB 1998-317	A 19980107
	EP 1047691	A1	20001102	WO 1998-EP7804	W 19981202
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL			EP 1998-965729	19981202
				GB 1997-26364	A 19971212
				GB 1997-26905	A 19971219

JP 2002508366	T2	20020319	GB 1998-317	A 19980107
			WO 1998-EP7804	W 19981202
			JP 2000-539010	19981202
			GB 1997-26364	A 19971212
			GB 1997-26905	A 19971219
			GB 1998-317	A 19980107
			WO 1998-EP7804	W 19981202

OS MARPAT 131:58850  
GI

AB The title compds. I [Ra = substituted Ph, bicyclic aryl, heterocyclyl, etc.; L = YC(O)DG, C(O)DG, DGC(O) in which Y is -NH-, NR5 where R5 is C1-6alkyl, or Y is -CH2- or -O-; D is nitrogen, carbon or a CH group, or G is hydrogen or C1-6alkyl providing that D is nitrogen or a CH group, or G together with Rb1 forms a group W where W is (CR16R17)<sup>t</sup> where t is 2, 3 or 4 and R16 and R17 are independently hydrogen or C1-6alkyl or W is (CR16R17)<sup>u</sup>-J where u is 0, 1, 2 or 3 and J is oxygen, sulfur, CR16:CR17, CR16:N, :CR16O, :CR16S or :CR16NR17 provided that u is not 0 when J is oxygen or sulfur; X is nitrogen or carbon; Rb1, Rb2 and Rb3 are independently hydrogen, halogen, hydroxy, C1-6alkyl, C2-6alkenyl, C3-6cycloalkyl, trifluoromethyl, C1-6alkoxy or aryl, or Rb1 together with G forms a group W as defined above; Rc is hydrogen or C1-6alkyl] were prepared E.g., N-[4-(4-methylpiperazin-1-yl)quinolin-6-yl]-N'-[5-(pyridin-4-yl)naphth-1-yl]urea was prepared Some examples of I had pKi values > 8.5 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.

IT 227955-65-9P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of quinolinedipiperazine and quinolinedipiperidine derivs. and their use as combined 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)

RN 227955-65-9 CAPLUS  
CN Urea, N-[3-chloro-4-(4-pyridinyl)phenyl]-N'-[4-(4-methyl-1-piperazinyl)-6-quinolinyl]- (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 1999:126896 CAPLUS  
DN 130:182356  
TI Preparation of bicyclic compounds as ligands for 5-HT1 receptors  
IN Gaster, Laramie Mary; Wyman, Paul Adrian; Flynn, Sean Thomas  
PA SmithKline Beecham PLC, UK  
SO PCT Int. Appl., 32 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9907700	A1	19990218	WO 1998-EP5116	19980806
	W: CA, JP, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			GB 1997-16804 GB 1998-1633 CA 1998-2299286 GB 1997-16804 GB 1998-1633 WO 1998-EP5116	A 19970809 A 19980126 19980806 A 19970809 A 19980126 W 19980806
	CA 2299286	AA	19990218	EP 1998-946322	19980806
EP	1003738	A1	20000531	GB 1997-16804 GB 1998-1633 WO 1998-EP5116	A 19970809 A 19980126 W 19980806
EP	1003738	B1	20031119	JP 2000-506204 GB 1997-16804 GB 1998-1633 WO 1998-EP5116	19980806 A 19970809 A 19980126 W 19980806
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL			US 2000-463704 GB 1997-16804 GB 1998-1633 WO 1998-EP5116	20000126 A 19970809 A 19980126 W 19980806
US	6391891	B1	20020521	JP 2000-506204 GB 1997-16804 GB 1998-1633 WO 1998-EP5116	19980806 A 19970809 A 19980126 W 19980806
OS	MARPAT 130:182356				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R11 = II (wherein P1 = Ph, bicyclic aryl, 5-7 membered heterocyclyl containing 1-3 heteroatoms selected from O, N and S, etc.; R1 = H, halo, C1-6 alkyl, etc.; R2 = H, halo, C1-6 alkyl, etc.; a = 1-3), III (P2, P3 = P1; A = a bond, O, SOM (m = 0-2), etc.; R3 = R2; a, b = 1-3); L = YC(:V)DG (Y = NH, N(C1-6 alkyl), CH2, O; V = O, S; D = N, C, CH; G = H, C1-6 alkyl); Q = (un)substituted 5-7 membered carbocyclic or heterocyclic ring containing 1-3 heteroatoms selected from O, N or S; R13 = 5-7 membered carbocyclic or heterocyclic ring containing 1-3 heteroatoms selected from O, N or S; R12 = H, halo, OH, etc.], useful in the treatment of CNS disorders, e.g., anxiety and depression, were prepared. Thus, treatment of 4-(pyridin-4-yl)naphth-1-ylamine with triphosgene in CH2Cl2 in the presence of Et3N followed by the addition of 5-amino-3-(1-methylpiperidin-4-yl)-1H-indole afforded the urea IV which showed pKi of > 8.0 at 5-HT1A, 5-HT1B and 5-HT1D receptors.

IT 220683-76-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of bicyclic compds. as ligands for 5-HT1 receptors)

RN 220683-76-1 CAPLUS

CN Urea, N-[3-(1-methyl-4-piperidinyl)-1H-indol-5-yl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 1998:745020 CAPLUS  
DN 130:13850  
TI Preparation of arylacetamide and arylurea derivatives as 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists.  
IN Gaster, Laramie Mary; Wyman, Paul Adrian  
PA Smithkline Beecham PLC, UK  
SO PCT Int. Appl., 73 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9850346	A2	19981112	WO 1998-EP2263	19980414
	WO 9850346	A3	19990311		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			GB 1997-7874	A 19970418
				GB 1998-1632	A 19980126
AU	9875267	A1	19981127	AU 1998-75267	19980414
				GB 1997-7874	A 19970418
				GB 1998-1632	A 19980126
ZA	9803243	A	19991018	WO 1998-EP2263	W 19980414
				ZA 1998-3243	19980417
				GB 1997-7874	A 19970418
OS	MARPAT	130:13850			
GI					

AB Title compds. [I; Ra = R1(R2)aP1, R1(R2)aP3AP2(R3)b; A = bond, O, S, SO, SO2, CO, NR4; R4 = H, alkyl; R1 = H, halo, alkyl, cycloalkyl, alkylcarbonyl, alkoxy, OH, hydroxyalkyl, hydroxyalkoxy, alkoxyalkoxy, NO2, CF3, cyano, etc.; R2, R3 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl, aryl, acyloxy, OH, NO2, CF3, cyano, etc.; a, b = 1-3; n = 0-4; P1-P3 = Ph, bicyclic aryl, 5-7 membered heterocyclyl, bicyclic heterocyclyl; L = YC(:V)DG; V = O, S; Y = NH, NR5 CH2, O; R5 = alkyl; D = N, C, CH; G = H, alkyl, etc.; B = CH2, O, S, SO, SO2, NR6, CR7:CR8; R6-R8, Rc, Rd = H, alkyl; Ry = 5-7 membered heterocyclyl, NReRf; Re, Rf = H, alkyl, aralkyl; Rb1, Rb2 = H, halo, OH, alkyl, CF3, alkoxy, aryl; Rb1G = atoms to form specified rings], were prepared. Thus, N-[3-(2-dimethylaminoethoxy)-4-iodophenyl]-4-bromophenylacetamide [prepared from

4-bromophenylactic acid and 3-(2-dimethylaminoethoxy)-4-iodoaniline] showed pKi >8.0 at 5-HT1A, 5-HT1B, and 5-HT1D receptors.  
 IT 215950-57-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of arylacetamide and arylurea derivs. as 5-HT1A, 5-HT1B, and 5-HT1D receptor antagonists)  
 RN 215950-57-5 CAPLUS  
 CN Urea, N-[3-[2-(dimethylamino)ethoxy]-4-iodophenyl]-N'-[3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1998:709049 CAPLUS  
 DN 129:330648  
 TI Preparation of heterocyclureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists.  
 IN Gaster, Laramie Mary; Wyman, Paul Adrian  
 PA Smithkline Beecham PLC, UK  
 SO PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9847868	A1	19981029	WO 1998-EP2264	19980414
	W: CA, JP, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			GB 1997-7875 GB 1998-1634	A 19970418 A 19980126

OS MARPAT 129:330648  
 GI

AB Title compds. [I; Ra = R1(R2)aP1, R1(R2)aP3A(R3)aP2; P1-P3 = Ph, bicyclic aryl, 5-7 membered heterocyclyl, bicyclic heterocyclyl; R1 = H, halo, alkyl, cycloalkyl, alkyl, alkoxy, NO<sub>2</sub>, CF<sub>3</sub>, cyano, heterocyclyl, acyl, etc.; R2, R3 = H, halo, alkyl, cycloalkyl, cycloalkenyl, alkoxy, alkanoyl, aryl, acyloxy, OH, NO<sub>2</sub>, CF<sub>3</sub>, NO<sub>2</sub>, etc.; L = YC(:V)DG; Y = NH, NR<sub>5</sub>, CH<sub>2</sub>, O; R<sub>5</sub> = alkyl; V = O, S; D = N, C, CH; G = H, alkyl; GR<sub>b</sub> = atoms to form a (substituted) (heterocyclic) ring; Ry = 5-7 membered heterocyclyl, amino; Q = atoms to form a (substituted) 5-7 membered (heterocyclic) ring; R<sub>c</sub>, R<sub>d</sub> = H, alkyl; R<sub>b</sub> = H, halo, OH, alkyl, CF<sub>3</sub>, alkoxy, aryl; n = 1-4], were prepared. Thus, 4-bromo-3-methylphenyl isocyanate (preparation given) in CH<sub>2</sub>C<sub>12</sub> was treated with 5-amino-3-(2-dimethylaminoethyl)indole in CH<sub>2</sub>C<sub>12</sub> to give 88% N-(4-bromo-3-methylphenyl)-N'-(3-(2-dimethylaminoethyl)indol-5-yl)urea. Tested I showed pKi >8.0 in a screen for 5HT1A, 5HT1B, and 5HT1D receptor binding.  
 IT 215039-06-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclylureas as 5HT1A, 5HT1B, and 5HT1D receptor antagonists)

RN 215039-06-8 CAPLUS

CN Urea, N-[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]-N'-(3-methyl-4-(4-pyridinyl)phenyl)- (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:818575 CAPLUS

DN 124:56724

TI Preparation of antiviral peptides.

IN Haebich, Dieter; Schulze, Thomas; Reefschaeger, Juergen; Hansen, Jutta; Neumann, Rainer; Streissle, Gert; Paessens, Arnold

PA Bayer A.-G., Germany

SO Ger. Offen., 60 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 4331134	A1	19950316	DE 1993-4331134	19930914
	EP 646597	A1	19950405	EP 1994-113560	19940831
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			DE 1993-4331134	A 19930914
	US 5646121	A	19970708	US 1994-302064	19940907
	CA 2131758	AA	19950315	DE 1993-4331134	A 19930914
	CA 2131758	AA	19950315	CA 1994-2131758	19940909
	JP 07118217	A2	19950509	DE 1993-4331134	A 19930914
	JP 07118217	A2	19950509	JP 1994-242364	19940912
	JP 07118217	A2	19950509	DE 1993-4331134	A 19930914

OS CASREACT 124:56724; MARPAT 124:56724

GI For diagram(s), see printed CA Issue.

AB Title compds. (I; a = 1-3; b = 0, 1; R1 = H, protecting group, defined acyl; R2, R3, R5 = H, alkyl, protecting group; R4 = H, NO<sub>2</sub>, protecting group, (substituted) MeSO<sub>2</sub>, PhSO<sub>2</sub>, naphthylsulfonyl; R6 = CHO, CO<sub>2</sub>H, CH<sub>2</sub>OH, alkoxyethyl, etc.), were prepared. Thus, title compound (II), prepared by solution phase methods, inhibited human cytomegalovirus with IC<sub>50</sub> < 0.0005  $\mu$ M.

IT 168194-49-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of antiviral peptides)

RN 168194-49-8 CAPLUS

CN L-Valinamide, N5-[imino[(4-methylphenyl)sulfonyl]methyl]-N2-[[[4-(4-pyridinyl)phenyl]amino]carbonyl]-L-ornithyl-N-[1-(hydroxymethyl)-2-phenylethyl]-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L3 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1995:594280 CAPLUS  
 DN 123:9462  
 TI Preparation of heterocyclaryl amides and ureas as 5-HT1D receptor antagonists  
 IN Duckworth, David Malcolm; Gaster, Laramie Mary; Jenkins, Sarah Margaret; Jennings, Andrew John; Mulholland, Keith Raymond  
 PA SmithKline Beecham PLC, UK  
 SO PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9506044	A1	19950302	WO 1994-EP2662	19940809
	W: JP, US			GB 1993-17328	A 19930820
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			GB 1993-17333	A 19930820
				GB 1993-18186	A 19930902
				GB 1993-22630	A 19931103
EP	714389	A1	19960605	EP 1994-925446	19940809
EP	714389	B1	19980617		
	R: BE, CH, DE, FR, GB, IT, LI, NL			GB 1993-17328	A 19930820
				GB 1993-17333	A 19930820
				GB 1993-18186	A 19930902
				GB 1993-22630	A 19931103
				WO 1994-EP2662	W 19940809
JP	09504004	T2	19970422	JP 1994-507309	19940809
				GB 1993-17328	A 19930820
				GB 1993-17333	A 19930820
				GB 1993-18186	A 19930902
				GB 1993-22630	A 19931103
				WO 1994-EP2662	W 19940809
US	5905080	A	19990518	US 1996-596223	19960215
				GB 1993-17328	A 19930820
				GB 1993-17333	A 19930820
				GB 1993-18186	A 19930902
				GB 1993-22630	A 19931103
				WO 1994-EP2662	W 19940809
OS	MARPAT 123:9462				
GI	For diagram(s), see printed CA Issue.				
AB	Title compds. I (P = Ph, 5-7-membered heterocyclyl containing 1-3 of O, N, S; R1 = H, halo, C1-6 alkyl, C3-6 cycloalkyl, C1-6 alkoxy, HO, NC, acyl, F3C, HS, H2N, etc.; R2 = H, halo, C1-6 alkyl, C1-6 alkoxy, acyl, O2N, etc.; R3 = H, halo, C1-6 alkyl, C1-6 alkoxy; R4 = H, C1-6 alkyl; A = HN, C1-6 acyclyl; n = 1,2) or a salt thereof useful as 5-HT1D antagonists (no data), are prepared 4-Bromophenylacetic acid was converted to the acid chloride and treated with 4-methoxy-3-(4-methyl-1-piperazinyl)benzenamine to give I (P = C6H4, R1 = H, R2 = Br, R3 = p-MeO, R4 = Me, A = CH2, n =				

1). Pharmaceutical compns. containing I are claimed.  
 IT 163620-41-5P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclaryl amides and ureas as 5-HT1D receptor antagonists)  
 RN 163620-41-5 CAPLUS  
 CN Urea, N-[4-methoxy-3-(4-methyl-1-piperazinyl)phenyl]-N'-(3-methyl-4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1991:460731 CAPLUS

DN 115:60731

TI Silver halide photographic materials

IN Hirano, Shigeo; Deguchi, Hisayasu

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 50 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 02244041	A2	19900928	JP 1989-64715	19890316
	JP 2881233	B2	19990412	JP 1989-64715	19890316

GI For diagram(s), see printed CA Issue.

AB The title materials contain at least one silver halide emulsion layer on a support. The title materials contain  $\geq 1$  compound A(L1)<sub>v</sub>Q (I) [A = group releasing (L1)<sub>v</sub>Q by reaction with oxidized developing agent; L1 = group releasing Q after cleavage of the bond between A and L1; Q = Q<sub>1</sub>, Q<sub>2</sub> from which any hydrogen radical has been removed; Q<sub>1</sub> = R<sub>21</sub>R<sub>22</sub>R<sub>24</sub>N+R<sub>23</sub> Y<sub>n</sub>; R<sub>21</sub>-R<sub>24</sub>, and R<sub>31</sub> = alkyl, alkenyl, aryl, which may have substituents; Z = non-metallic atoms forming 5- or 6-membered (substituted) heterocyclic ring other than triazole; Y = counter ion; v, n = 0 or 1]. The title materials promote development and have high sensitivity. Amide II is an example of I.

IT 135138-28-2

RL: TEM (Technical or engineered material use); USES (Uses)  
 (silver halide photog. material containing)

RN 135138-28-2 CAPLUS

CN Pyridinium, 4-[4-[[[3-[5-[(hexadecylsulfonyl)amino]-2,3-dihydro-1-oxo-1H-inden-2-yl]thio]-1H-tetrazol-1-yl]phenyl]amino]carbonyl]amino]phenyl]-1-methyl-, bromide (9CI) (CA INDEX NAME)

L3 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1983:470567 CAPLUS  
 DN 99:70567  
 TI N-[4-(4-Pyridinyl)phenyl]ureas and their cardiotonic use  
 IN Lesher, George Y.; Page, Donald F.  
 PA Sterling Drug Inc., USA  
 SO U.S., 6 pp. Cont.-in-part of U.S. 4,317,827.  
 CODEN: USXXAM

DT Patent  
 LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4376775	A	19830315	US 1981-285379 US 1980-152991	19810720 A2 19800527
	US 4317827	A	19820302	US 1980-152991	19800527
	AU 8170901	A1	19811203	AU 1981-70901 US 1980-152991	19810521 A 19800527
	FI 8101576	A	19811128	FI 1981-1576 US 1980-152991	19810522 A 19800527
	GB 2076815	A	19811209	GB 1981-15762	19810522
	GB 2076815	B2	19840523	US 1980-152991	A 19800527
	ZA 8103474	A1	19820630	ZA 1981-3474 US 1980-152991	19810525 A 19800527
	BE 888963	A1	19811126	BE 1981-10237 US 1980-152991	19810526 A 19800527
	DK 8102298	A	19811128	DK 1981-2298 US 1980-152991	19810526 A 19800527
	SE 8103325	A	19811128	SE 1981-3325 US 1980-152991	19810526 A 19800527
	NO 8101783	A	19811130	NO 1981-1783 US 1980-152991	19810526 A 19800527
	NL 8102582	A	19811216	NL 1981-2582 US 1980-152991	19810526 A 19800527
	DE 3120954	A1	19820204	DE 1981-3120954 US 1980-152991	19810526 A 19800527
	ES 502493	A1	19820401	ES 1981-502493 US 1980-152991	19810526 A 19800527
	CA 1161440	A1	19840131	CA 1981-378281 US 1980-152991	19810526 A 19800527
	JP 57011965	A2	19820121	JP 1981-80711 US 1980-152991	19810527 A 19800527
	AT 8102384	A	19840115	AT 1981-2384 US 1980-152991	19810527 A 19800527
	US 4377585	A	19830322	US 1981-284771 US 1980-152991	19810720 A3 19800527
	FR 2489147	A1	19820305	FR 1981-18336 US 1980-152991	19810929 A 19800527

## PATENT FAMILY INFORMATION:

FAN 1982:199532

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2483233	A1	19811204	FR 1981-10481	19810526
	FR 2483233	B1	19840615		

US 4317827	A	19820302	US 1980-152991	A	19800527
AU 8170901	A1	19811203	AU 1981-70901	A	19810521
FI 8101576	A	19811128	US 1980-152991	A	19800527
GB 2076815	A	19811209	FI 1981-1576	A	19810522
GB 2076815	B2	19840523	US 1980-152991	A	19800527
ZA 8103474	A1	19820630	GB 1981-15762	A	19810522
BE 888963	A1	19811126	US 1980-152991	A	19800527
DK 8102298	A	19811128	ZA 1981-3474	A	19810525
SE 8103325	A	19811128	US 1980-152991	A	19800527
NO 8101783	A	19811130	BE 1981-10237	A	19810526
NL 8102582	A	19811216	US 1980-152991	A	19800527
DE 3120954	A1	19820204	DK 1981-2298	A	19810526
ES 502493	A1	19820401	US 1980-152991	A	19800527
CA 1161440	A1	19840131	SE 1981-3325	A	19810526
JP 57011965	A2	19820121	US 1980-152991	A	19800527
AT 8102384	A	19840115	CA 1981-378281	A	19810526
US 4377585	A	19830322	US 1980-152991	A	19800527
FR 2489147	A1	19820305	JP 1981-80711	A	19810527
OS	CASREACT 99:70567		US 1980-152991	A3	19810720
GI			AT 1981-2384	A	19800527
			US 1980-152991	A	19800527
			US 1981-284771	A	19810527
			US 1980-152991	A3	19800527
			FR 1981-18336	A	19810929
			US 1980-152991	A	19800527

AB The cardiotonic title compds. I (R, R4 = H, Me, Et; R1 = H, Me, Et, HO; R2, R3 = H, Me) and their pharmaceutically acceptable acid addition salts were prepared. Thus, 39.2 g 4-(4-pyridyl)aniline in AcOH was treated with 74.1 g potassium cyanate in H<sub>2</sub>O at 55-60° to give 19.3 g I (R-R4 = H). At 30 µg/mL I (R-R4 = H) increased the papillary muscle force and right atrial force by 58 and 26%, resp. (cat test).

IT 81722-12-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and cardiotonic activity of)

RN 81722-12-5 CAPLUS

CN Urea, [4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1982:199532 CAPLUS  
 DN 96:199532  
 TI Aminophenylpyridines and cardiotonic compositions containing them  
 IN Lesher, George Yohe; Page, Donald Frederick  
 PA Sterling Drug Inc., USA  
 SO Fr. Demande, 19 pp.  
 CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2483233	A1	19811204	FR 1981-10481	19810526
	FR 2483233	B1	19840615	US 1980-152991	A 19800527
	US 4317827	A	19820302	US 1980-152991	19800527
	AU 8170901	A1	19811203	AU 1981-70901	A 19810521
				US 1980-152991	19800527
	FI 8101576	A	19811128	FI 1981-1576	19810522
				US 1980-152991	A 19800527
	GB 2076815	A	19811209	GB 1981-15762	19810522
	GB 2076815	B2	19840523	US 1980-152991	A 19800527
	ZA 8103474	A1	19820630	ZA 1981-3474	19810525
				US 1980-152991	A 19800527
	BE 888963	A1	19811126	BE 1981-10237	19810526
				US 1980-152991	A 19800527
	DK 8102298	A	19811128	DK 1981-2298	19810526
				US 1980-152991	A 19800527
	SE 8103325	A	19811128	SE 1981-3325	19810526
				US 1980-152991	A 19800527
	NO 8101783	A	19811130	NO 1981-1783	19810526
				US 1980-152991	A 19800527
	NL 8102582	A	19811216	NL 1981-2582	19810526
				US 1980-152991	A 19800527
	DE 3120954	A1	19820204	DE 1981-3120954	19810526
				US 1980-152991	A 19800527
	ES 502493	A1	19820401	ES 1981-502493	19810526
				US 1980-152991	A 19800527
	CA 1161440	A1	19840131	CA 1981-378281	19810526
				US 1980-152991	A 19800527
	JP 57011965	A2	19820121	JP 1981-80711	19810527
				US 1980-152991	A 19800527
	AT 8102384	A	19840115	AT 1981-2384	19810527
				US 1980-152991	A 19800527
	US 4377585	A	19830322	US 1981-284771	19810720
				US 1980-152991	A3 19800527
	FR 2489147	A1	19820305	FR 1981-18336	19810929
				US 1980-152991	A 19800527

PATENT FAMILY INFORMATION:

FAN 1983:470567

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4376775	A	19830315	US 1981-285379 US 1980-152991	19810720 A2 19800527
	US 4317827	A	19820302	US 1980-152991	19800527
	AU 8170901	A1	19811203	AU 1981-70901 US 1980-152991	19810521 A 19800527
	FI 8101576	A	19811128	FI 1981-1576 US 1980-152991	19810522 A 19800527
	GB 2076815	A	19811209	GB 1981-15762	19810522
	GB 2076815	B2	19840523	US 1980-152991	A 19800527
	ZA 8103474	A1	19820630	ZA 1981-3474 US 1980-152991	19810525 A 19800527
	BE 888963	A1	19811126	BE 1981-10237 US 1980-152991	19810526 A 19800527
	DK 8102298	A	19811128	DK 1981-2298 US 1980-152991	19810526 A 19800527
	SE 8103325	A	19811128	SE 1981-3325 US 1980-152991	19810526 A 19800527
	NO 8101783	A	19811130	NO 1981-1783 US 1980-152991	19810526 A 19800527
	NL 8102582	A	19811216	NL 1981-2582 US 1980-152991	19810526 A 19800527
	DE 3120954	A1	19820204	DE 1981-3120954 US 1980-152991	19810526 A 19800527
	ES 502493	A1	19820401	ES 1981-502493 US 1980-152991	19810526 A 19800527
	CA 1161440	A1	19840131	CA 1981-378281 US 1980-152991	19810526 A 19800527
	JP 57011965	A2	19820121	JP 1981-80711 US 1980-152991	19810527 A 19800527
	AT 8102384	A	19840115	AT 1981-2384 US 1980-152991	19810527 A 19800527
	US 4377585	A	19830322	US 1981-284771 US 1980-152991	19810720 A3 19800527
	FR 2489147	A1	19820305	FR 1981-18336 US 1980-152991	19810929 A 19800527
OS	CASREACT 96:199532				
GI					

AB 4-Phenylpyridines I (R and R1 each are H, Me; R2 = H, Me, Et, OH; R3 = H, Me, Et; R4 = H,  $\alpha$ -hydroxyalkanoyl,  $\alpha$ -acetoxyalkanoyl, MeCH:CHCO, CONH<sub>2</sub>, CHO, alkanoyl, HO<sub>2</sub>CCH<sub>2</sub>CH<sub>2</sub>CO) were prepared and they showed cardiac contraction and antihypertensive activity. 4-(4-Aminophenyl)pyridine was heated with HCO<sub>2</sub>H to give 4-(4-formamidophenyl)pyridine.

IT 81722-12-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and cardiac contraction activity of)

RN 81722-12-5 CAPLUS

CN Urea, [4-(4-pyridinyl)phenyl]- (9CI) (CA INDEX NAME)

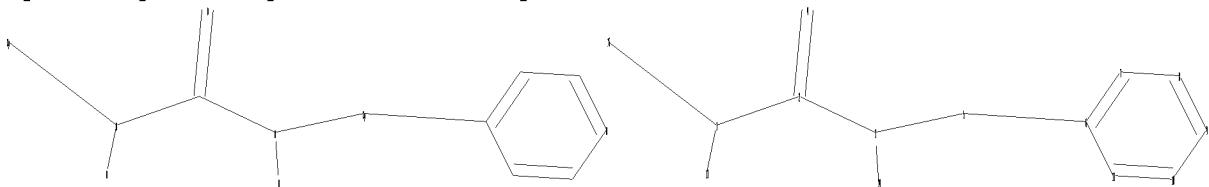
=>

---Logging off of STN---

=>

=&gt;

Uploading C:\Program Files\Stnexp\Queries\rkc446n.str



chain nodes :  
 1 2 3 4 5 13 14 16

ring nodes :  
 6 7 8 9 10 11

chain bonds :  
 1-13 1-2 1-16 2-3 2-4 3-5 3-14 5-6

ring bonds :  
 6-11 6-7 7-8 8-9 9-10 10-11

exact/norm bonds :  
 1-2 1-16 2-3 2-4 3-5 5-6

exact bonds :  
 1-13 3-14

normalized bonds :  
 6-11 6-7 7-8 8-9 9-10 10-11

G1:C,O

G2:O,S,N,CH3,Et,n-Pr,MeO,EtO,n-PrO

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 13:CLASS 14:CLASS 16:Atom

Generic attributes :

5:

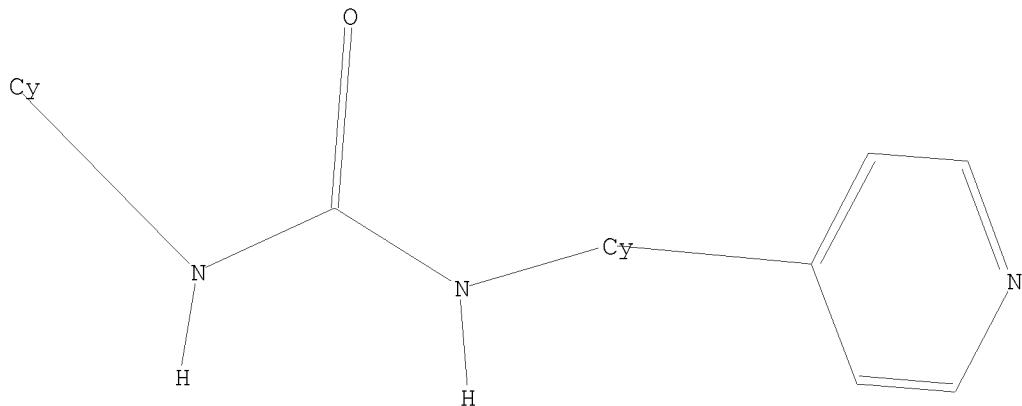
Saturation : Unsaturated  
 Number of Hetero Atoms : less than 2

L1 STRUCTURE UPLOADED

=&gt; d

L1 HAS NO ANSWERS

L1 STR



G1 C, O

G2 O, S, N, Me, Et, n-Pr, MeO, EtO, n-PrO

Structure attributes must be viewed using STN Express query preparation.

=&gt; s 11 ful

FULL SEARCH INITIATED 08:52:57 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 81617 TO ITERATE

100.0% PROCESSED 81617 ITERATIONS 80 ANSWERS  
 SEARCH TIME: 00.00.02

L2 80 SEA SSS FUL L1

=> fil caplus  
 COST IN U.S. DOLLARS SINCE FILE TOTAL  
 FULL ESTIMATED COST ENTRY SESSION  
 166.94 167.15

FILE 'CAPLUS' ENTERED AT 08:53:04 ON 30 MAY 2006  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 30 May 2006 VOL 144 ISS 23  
 FILE LAST UPDATED: 28 May 2006 (20060528/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.  
They are available for your review at:

<http://www.cas.org/infopolicy.html>

=> s 12  
L3 8 L2

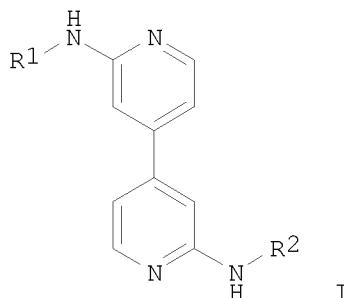
=> d 1-8 fbib abs fhitstr

L3 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:515503 CAPLUS  
DN 141:71452  
TI Preparation of pyridine derivatives as JNK inhibitors  
IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie  
PA AstraZeneca Ab, Swed.  
SO PCT Int. Appl., 98 pp.  
CODEN: PIXXD2

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052880	A1	20040624	WO 2003-SE1911	20031208
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				SE 2002-3654	A 20021209
	AU 2003302919	A1	20040630	AU 2003-302919	20031208
				SE 2002-3654	A 20021209
				WO 2003-SE1911	W 20031208

OS MARPAT 141:71452  
GI



AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, COR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

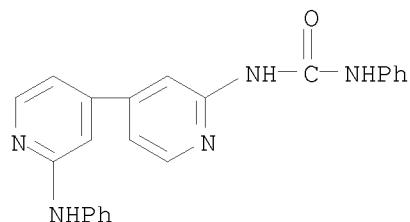
IT 712269-07-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4'-bipyridine-2,2'-diamine derivs. as JNK inhibitors)

RN 712269-07-3 CAPLUS

CN Urea, N-phenyl-N'-(2'-(phenylamino)[4,4'-bipyridin]-2-yl)-(9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:591913 CAPLUS

DN 137:150215

TI Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents

IN Hatayama, Satoshi; Hayashi, Kyoko; Honma, Mitsuki; Takahashi, Ikuko

PA Banyu Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokyo Koho, 194 pp.

CODEN: JKXXAF

DT Patent

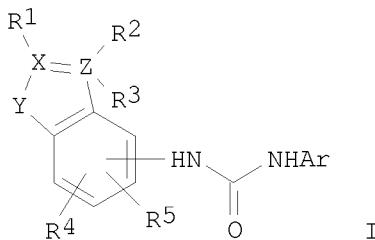
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002220338	A2	20020809	JP 2001-18755	20010126
				JP 2001-18755	20010126

OS MARPAT 137:150215

GI

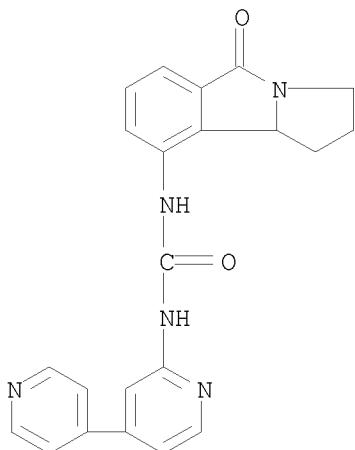


AB This invention relates to the general structures (I; Ar = N-containing hetero aromatic ring, X, Z = C, etc.; Y = CO, etc.; R1-R5 = H, etc.) and their salts as Cdk4 and/or Cdk6 inhibitors. I have antiproliferative effects on cancer cells and are potential antitumor agents. Formulation examples of I capsules, tablets, and injections were given.

IT 322685-62-1  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Cdk4 and/or Cdk6 inhibitors with biaryl ureas and their salts as antitumor agents)

RN 322685-62-1 CAPLUS

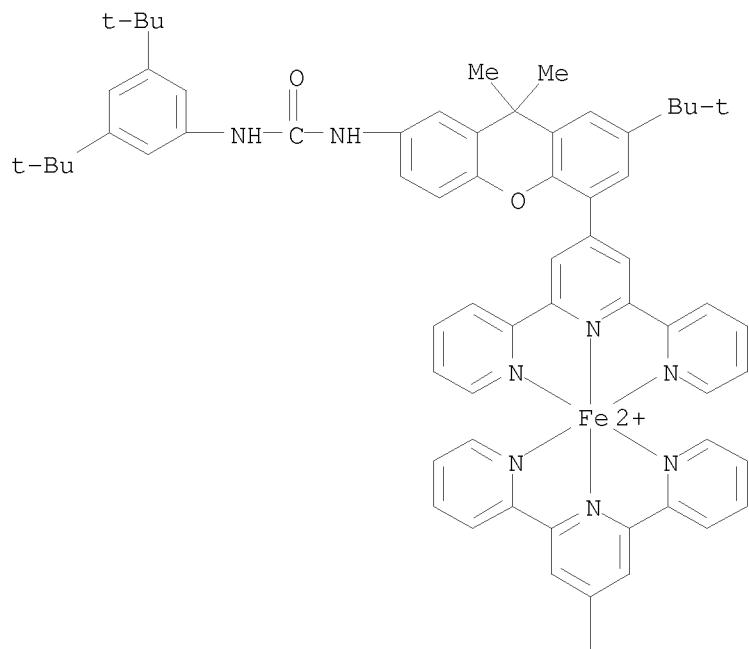
CN Urea, N-[4,4'-bipyridin]-2-yl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



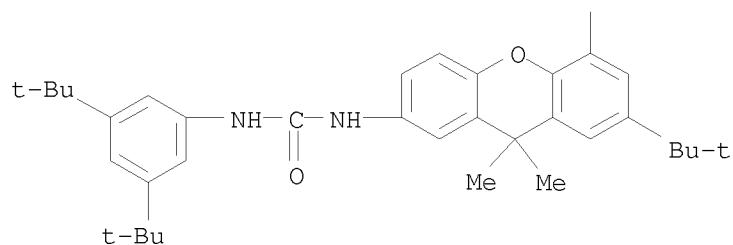
L3 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:50090 CAPLUS  
 DN 136:375464  
 TI Strong and directed association of porphyrins and iron(terpyridine)s using hydrogen bonding and ion pairing  
 AU Norsten, Tyler B.; Chichak, Kelly; Branda, Neil R.  
 CS Department of Chemistry, University of Alberta, Edmonton, AB, T6G 2G2, Can.

SO Tetrahedron (2002), 58(4), 639-651  
 CODEN: TETRAB; ISSN: 0040-4020  
 PB Elsevier Science Ltd.  
 DT Journal  
 LA English  
 AB The combination of cooperative hydrogen bonding and ion pairing between cationic iron(II)terpyridines and anionic porphyrins yielded remarkably stable neutral complexes even in the highly competitive solvent DMSO. Isothermal titration calorimetry (ITC) was used to compare association consts., enthalpies and entropies of binding between various combinations of the two mol. components that make up the complexes. Steady-state luminescence studies highlighted that, as expected, the fluorescence quenching of the porphyrin is maximized in the cases where the iron(terpyridine) is strapped the tightest across the macrocycle.  
 IT 424788-16-9P  
 RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)  
 (Hydrogen Bonding; association between porphyrins and iron(xanthene)(terpyridine)s by means of hydrogen bonding and ion pairing)  
 RN 424788-16-9 CAPLUS  
 CN Iron(2+), bis[N-[3,5-bis(1,1-dimethylethyl)phenyl]-N'-[7-(1,1-dimethylethyl)-9,9-dimethyl-5-([2,2':6',2''-terpyridin]-4'-yl-  
 κN1,κN1',κN1'')-9H-xanthen-2-yl]urea]-, (OC-6-1'2')-, salt with 3,3'-(21H,23H-porphine-5,15-diyl)bis[benzoic acid] (1:1) (9CI)  
 (CA INDEX NAME)  
 CM 1  
 CRN 424788-10-3  
 CMF C98 H106 Fe N10 O4  
 CCI CCS

PAGE 1-A

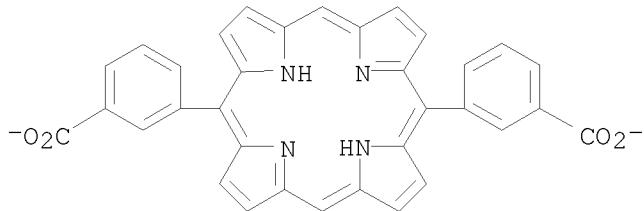


PAGE 2-A



CM 2

CRN 385816-87-5  
CMF C34 H20 N4 O4

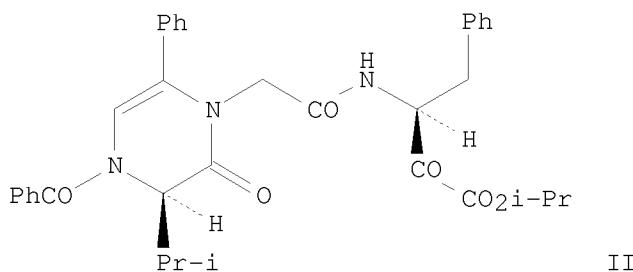
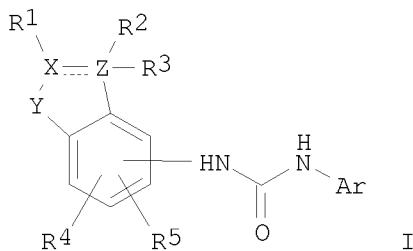


RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2001:78363 CAPLUS  
 DN 134:147614  
 TI Preparation of N,N'-biarylurea derivatives as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6)  
 IN Hayama, Takashi; Hayashi, Kyoko; Honma, Mitsutaka; Takahashi, Ikuko  
 PA Banyu Pharmaceutical Co., Ltd., Japan  
 SO PCT Int. Appl., 460 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007411	A1	20010201	WO 2000-JP4991	20000726
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			JP 1999-211384	A 19990726
CA	2380389	AA	20010201	CA 2000-2380389	20000726
				JP 1999-211384	A 19990726
				WO 2000-JP4991	W 20000726
JP	2001106673	A2	20010417	JP 2000-274175	20000726
				JP 1999-211384	A 19990726
EP	1199306	A1	20020424	EP 2000-949909	20000726
EP	1199306	B1	20051207		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			JP 1999-211384	A 19990726
				WO 2000-JP4991	W 20000726
EP	1557168	A2	20050727	EP 2005-101402	20000726
	R: DE, ES, FR, GB, IT			JP 1999-211384	A 19990726
				EP 2000-949909	A3 20000726
US	6958333	B1	20051025	US 2002-31795	20020402
				JP 1999-211384	A 19990726
				WO 2000-JP4991	W 20000726
OS	MARPAT	134:147614			

GI



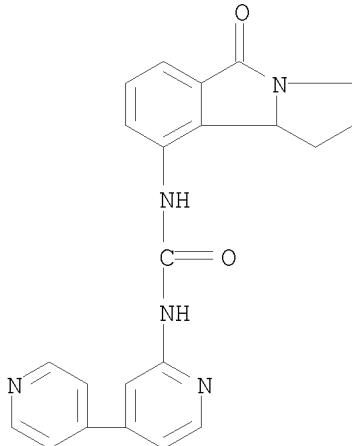
AB N-(hetero)aryl-N'-heterocyclurea derivs. represented by general formula (I) [wherein Ar represents a nitrogenous heterocyclic aromatic group such as (un)substituted pyridyl, pyrimidinyl, pyrazinyl, pyridazinyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, pyrazolyl, pyrrolyl, imidazolyl, indolyl, isoindolyl, quinolyl, isoquinolyl, benzothiazolyl, or benzoxazolyl; X and Z each represents C or N or together with R1 or R2 and/or R3 represent CH or N; Y represents CO, SO, or SO<sub>2</sub>; R1 represents hydrogen, (un)substituted lower alkyl, Y<sub>3</sub>-W<sub>2</sub>-Y<sub>4</sub>-R<sub>5</sub>, etc.; wherein R<sub>5</sub> = H, (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower cycloalkyl, aryl, imidazolyl, isoxazolyl, isoquinolyl, isoindolyl, indazolyl, indolyl, indolidinyl, isothiazolyl, ethylenedioxophenyl, oxazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl, pyrazolyl, quinoxalinyl, quinolyl, etc.; W<sub>2</sub> = ingle bond, O, S, SO, SO<sub>2</sub>, N-(un)substituted NH, SO<sub>2</sub>NH, NHSO<sub>2</sub>NH, NHSO<sub>2</sub>, CONH, NHCO, NHCONH, NHCO<sub>2</sub>, etc.; Y<sub>3</sub>, Y<sub>4</sub> = single bond, linear or branched lower alkylene; R<sub>2</sub> and R<sub>3</sub> each represents hydrogen, lower alkyl or alkoxy, or Y<sub>3</sub>-W<sub>2</sub>-Y<sub>4</sub>-R<sub>5</sub> (Y<sub>3</sub>, W<sub>2</sub>, Y<sub>4</sub>, R<sub>5</sub> = same as above), or one of R<sub>2</sub> and R<sub>3</sub> together with R<sub>1</sub> and X forms cyclohexane, cyclopentane, piperidine, 3,4,5,6-tetrahydro-1,3-oxazine, tetrahydrothiopyran, pyrrolidine, tetrahydrothiofuran, oxazolidine ring, etc.; R<sub>4</sub> and R<sub>5</sub> represent H, halo, OH, amino, or Y<sub>3</sub>-W<sub>2</sub>-Y<sub>4</sub>-R<sub>5</sub> (Y<sub>3</sub>, W<sub>2</sub>, Y<sub>4</sub>, R<sub>5</sub> = same as above)] or salts thereof are prepared. The compds. (e.g. II) have a remarkable proliferation-inhibitory effect on tumor cells. A Cdk4 and/or Cdk6 inhibitor for use in the therapy of malignant tumor can hence be provided. II showed IC<sub>50</sub> of 0.061 and 0.019 μM against cyclin-D1-Cdk4 and cyclin-D2-Cdk4, resp., vs. 0.36 and 0.056 μM, resp., for (±)-flavopiridol, and inhibited the proliferation of HCT116 and MKN-1 cells with IC<sub>50</sub> of 0.013 and 0.10 μM, resp., vs. 0.15 and 0.87 μM, resp., for (±)-flavopiridol. Pharmaceutical formulations containing I were prepared

IT 322685-62-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N-(hetero)aryl-N'-heterocyclurea derivs. as inhibitors of cyclin-dependent kinases (Cdk4 and Cdk6) and antitumor agents)

RN 322685-62-1 CAPLUS

CN Urea, N-[4,4'-bipyridin]-2-yl-N'-(2,3,5,9b-tetrahydro-5-oxo-1H-pyrrolo[2,1-a]isoindol-9-yl)- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:425744 CAPLUS

DN 131:73649

TI Preparation of pyrazolyl aryl ureas and related compounds as p38 kinase inhibitors

IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Redman, Aniko; Sibley, Robert

PA Bayer Corporation, USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

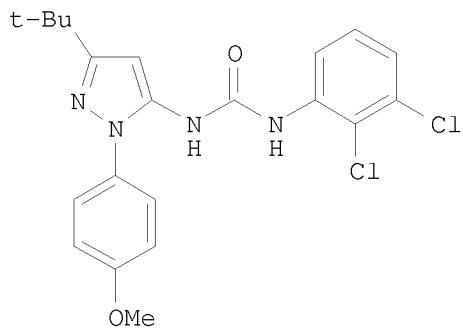
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932110	A1	19990701	WO 1998-US26079	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,				

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,  
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2315647	AA	19990701	US 1997-995751	A 19971222
			CA 1998-2315647	19981222
			US 1997-995751	A 19971222
			WO 1998-US26079	W 19981222
AU 9919970	A1	19990712	AU 1999-19970	19981222
AU 762077	B2	20030619	US 1997-995751	A 19971222
			WO 1998-US26079	W 19981222
EP 1043995	A1	20001018	EP 1998-964708	19981222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			US 1997-995751	A 19971222
			WO 1998-US26079	W 19981222
JP 2001526222	T2	20011218	JP 2000-525101	19981222
			US 1997-995751	A 19971222
			WO 1998-US26079	W 19981222

OS MARPAT 131:73649

GI

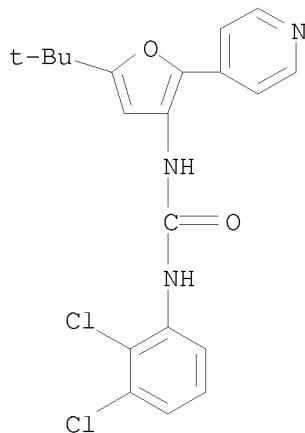


AB A method for treatment of p38-mediated disease other than cancer comprises administration of ANHCONHB [I; A = substituted pyrazolyl, thienyl, furyl; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing  $\geq 1$  5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 2,3-dichlorophenyl isocyanate with 1-(4-methoxyphenyl)-3-tert-butyl-5-aminopyrazole in toluene gave title compound II. In an in vitro p38 kinase assay, I displayed IC<sub>50</sub> values of 1-10  $\mu$ M.

IT 227623-24-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrazolyl aryl ureas and related compds. as p38 kinase inhibitors)

RN 227623-24-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-(5-(1,1-dimethylethyl)-2-(4-pyridinyl)-3-furanyl)-(9CI) (CA INDEX NAME)



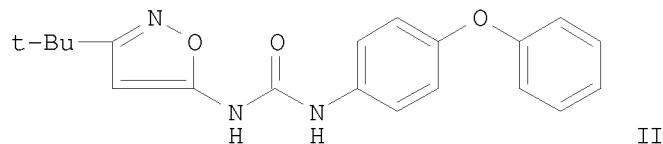
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1999:425740 CAPLUS  
 DN 131:73648  
 TI Inhibition of raf kinase using substituted heterocyclic ureas  
 IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger;  
 Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.;  
 Hatoum-Mokdad, Holia; Johnson, Jeffrey; Lee, Wendy; Redman, Aniko  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 163 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932106	A1	19990701	WO 1998-US26078	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA	2315717	AA	19990701	US 1997-996343	A 19971222
				CA 1998-2315717	19981222
				US 1997-996343	A 19971222
				WO 1998-US26078	W 19981222
AU	9921989	A1	19990712	AU 1999-21989	19981222
				US 1997-996343	A 19971222
				WO 1998-US26078	W 19981222
EP	1047418	A1	20001102	EP 1998-965981	19981222
EP	1047418	B1	20050727		
				R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO	

TR 200002618	T2	20010420	US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
JP 2001526220	T2	20011218	TR 2000-200002618		19981222
			US 1997-996343	A	19971222
BR 9814374	A	20020514	JP 2000-525097		19981222
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
RU 2232015	C2	20040710	BR 1998-14374		19981222
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
CN 1544420	A	20041110	RU 2000-120184		19981222
			US 1997-996343	A	19971222
AT 300299	E	20050815	CN 2004-10028655		19981222
			US 1997-996343	A	19971222
ES 2153340	T3	20060201	AT 1998-965981		19981222
			US 1997-996343	A	19971222
NO 2000003232	A	20000821	ES 1998-965981		19981222
			US 1997-996343	A	19971222
BG 104597	A	20010228	NO 2000-3232		20000621
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
HK 1029052	A1	20051118	BG 2000-104597		20000712
			US 1997-996343	A	19971222
			WO 1998-US26078	W	19981222
OS MARPAT 131:73648			HK 2000-107684		20001130
GI			US 1997-996343	A	19971222
			WO 1998-US26078	A	19981222



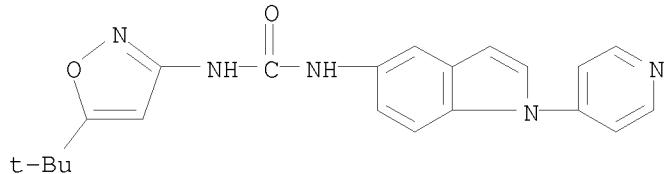
AB A method for treatment of cancerous cell growth mediated by raf kinase comprises administration of urea derivs. ANHCONHB [I; A = substituted isoxazolyl, thienyl, thiadiazolyl, furyl, pyrazolyl, etc.; B = (substituted) mono-, di-, or tricyclic aryl, heteroaryl containing  $\geq 1$  5-6 membered aromatic structure containing 0-4 N, O, or S atoms]. Reaction of 4-phenyloxyphenyl isocyanate with 5-amino-3-tert-butylisoxazole in methylene chloride and heating at reflux temperature for 2 days gave title compound II. In an in vitro raf kinase assay, I displayed IC<sub>50</sub> values of 1-10  $\mu$ M.

IT 229000-60-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted heterocyclic ureas for treatment of cancerous  
 cell growth mediated by raf kinase)

RN 229000-60-6 CAPLUS

CN Urea, N-[5-(1,1-dimethylethyl)-3-isoxazolyl]-N'-(1-(4-pyridinyl)-1H-indol-5-yl)- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1999:421660 CAPLUS  
 DN 131:44811  
 TI Preparation of aryl- and heteroaryl-substituted heterocyclic ureas as raf kinase inhibitors  
 IN Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Paulsen, Holger; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Hatoum-Mokdad, Holia; Johnson, Jeffrey; Redman, Aniko; Sibley, Robert  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 58 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932455	A1	19990701	WO 1998-US26082	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA	2315713	AA	19990701	US 1997-996181 CA 1998-2315713	A 19971222 19981222
				US 1997-996181 WO 1998-US26082	A 19971222 W 19981222
AU	9919055	A1	19990712	AU 1999-19055	19981222
AU	765412	B2	20030918	US 1997-996181 WO 1998-US26082	A 19971222 W 19981222
TR	200002617	T2	20001121	TR 2000-200002617 US 1997-996181	19981222 A 19971222
EP	1056725	A1	20001206	EP 1998-963810	19981222

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

			US 1997-996181	A 19971222
			WO 1998-US26082	W 19981222
TR 200100918	T2	20010621	TR 2001-200100918	19981222
			US 1997-996181	A 19971222
TR 200100917	T2	20010723	TR 2001-200100917	19981222
			US 1997-996181	A 19971222
BR 9814361	A	20011127	BR 1998-14361	19981222
			US 1997-996181	A 19971222
			WO 1998-US26082	W 19981222
JP 2001526269	T2	20011218	JP 2000-525392	19981222
			US 1997-996181	A 19971222
			WO 1998-US26082	W 19981222
CN 1117081	B	20030806	CN 1998-812504	19981222
			US 1997-996181	A 19971222
NZ 505845	A	20031031	NZ 1998-505845	19981222
			US 1997-996181	A 19971222
			WO 1998-US26082	W 19981222
RU 2265597	C2	20051210	RU 2000-120162	19981222
			US 1997-996181	A 19971222
			WO 1998-US26082	W 19981222
NO 2000003231	A	20000822	NO 2000-3231	20000621
NO 319209	B1	20050627		
			US 1997-996181	A 19971222
			WO 1998-US26082	W 19981222
BG 104598	A	20010228	BG 2000-104598	20000712
			US 1997-996181	A 19971222
			WO 1998-US26082	W 19981222

OS MARPAT 131:44811

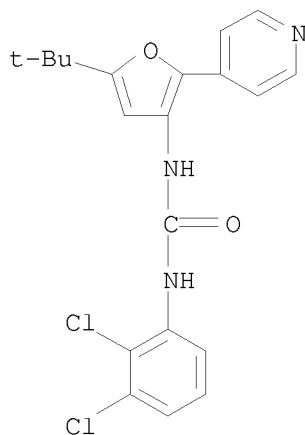
AB The title compds. ANHCONHB (A = heteroaryl; B = aryl, heteroaryl), raf kinase inhibitors, were prepared E.g., N-(1-phenyl-3-tert-butyl-5-pyrazolyl)-N'-(4-(4-pyridinylmethyl)phenyl)urea was prepared

IT 227623-24-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aryl- and heteroaryl-substituted heterocyclic ureas as raf kinase inhibitors)

RN 227623-24-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(1,1-dimethylethyl)-2-(4-pyridinyl)-3-furanyl]- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1999:421642 CAPLUS  
 DN 131:58658  
 TI Inhibition of raf kinase using symmetrical and unsymmetrical substituted diphenyl ureas  
 IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming  
 PA Bayer Corporation, USA  
 SO PCT Int. Appl., 89 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

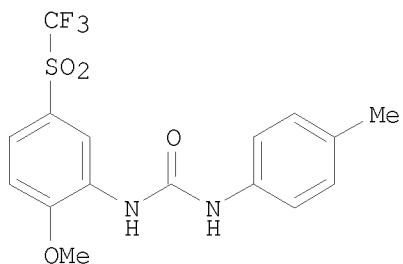
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932436	A1	19990701	WO 1998-US26081	19981222
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			US 1997-996344	A 19971222
	CA 2315646	AA	19990701	CA 1998-2315646	19981222
				US 1997-996344	A 19971222
				WO 1998-US26081	W 19981222
AU	9919054	A1	19990712	AU 1999-19054	19981222
AU	763024	B2	20030710	US 1997-996344	A 19971222
				WO 1998-US26081	W 19981222
EP	1049664	A1	20001108	EP 1998-963809	19981222
	EP 1049664	B1	20050316		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
TR 200002616	T2	20001121	TR 2000-200002616	19981222
			US 1997-996344	A 19971222
TR 200100874	T2	20010621	TR 2001-200100874	19981222
			US 1997-996344	A 19971222
JP 2001526258	T2	20011218	JP 2000-525373	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
BR 9814375	A	20020521	BR 1998-14375	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
NZ 505843	A	20030630	NZ 1998-505843	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
EP 1449834	A2	20040825	EP 2003-26051	19981222
EP 1449834	A3	20041222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			US 1997-996344	A 19971222
			EP 1998-963809	A3 19981222
RU 2247109	C2	20050227	RU 2000-120165	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
AT 291011	E	20050415	AT 1998-963809	19981222
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
ES 2153809	T3	20050716	ES 1998-963809	19981222
			US 1997-996344	A 19971222
NO 2000003230	A	20000821	NO 2000-3230	20000621
			US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222
BG 104599	A	20010330	BG 2000-104599	20000712
BG 64594	B1	20050831	US 1997-996344	A 19971222
			WO 1998-US26081	W 19981222

OS MARPAT 131:58658  
GI



II

AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A =

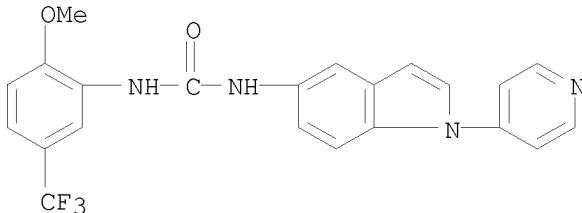
certain (un)substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepared. For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtOAc gave title compound II. In an in vitro raf kinase assay, all compds. displayed IC<sub>50</sub> values between 1 nM and 10  $\mu$ M.

IT 228399-93-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of sym. and unsym. substituted di-Ph ureas with inhibitory effects on tumors mediated by raf kinase)

RN 228399-93-7 CAPLUS

CN Urea, N-[2-methoxy-5-(trifluoromethyl)phenyl]-N'-[1-(4-pyridinyl)-1H-indol-5-yl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE TOTAL  
ENTRY SESSION  
45.94 213.09

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL